

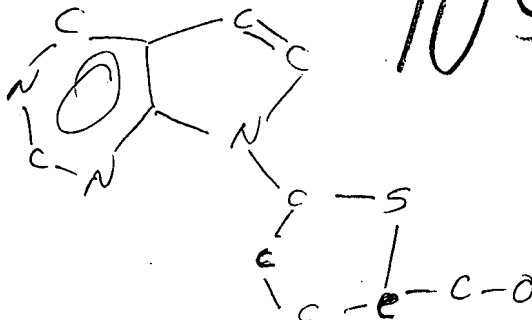
## SEARCH REQUEST FORM

112578

Requestor's Name: BERCH Serial Number: 03/22556Date: 1/22/04 Phone: 3084718 Art Unit: 1624  
4E12 4D15 (Office)

## Search Topic:

REM 5001 w/ 2/5  
Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).



## STAFF USE ONLY

Date completed: Jarrell / @Schulwitz

Searcher: \_\_\_\_\_

Terminal time: \_\_\_\_\_

Elapsed time: 125CPU time: 25

Total time: \_\_\_\_\_

Number of Searches: \_\_\_\_\_

Number of Databases: \_\_\_\_\_  
1 STR

## Search Site

STIC

CM-1

Pre-S

## Type of Search

N.A. Sequence

A.A. Sequence

Structure

Bibliographic

## Vendors

IG

530 STN 1

Dialog

APS

Geninfo

SDC

DARC/Questel

Other

10520925

=&gt; b reg

FILE 'REGISTRY' ENTERED AT 09:18:27 ON 28 JAN 2004  
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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 27 JAN 2004 HIGHEST RN 642407-31-6  
DICTIONARY FILE UPDATES: 27 JAN 2004 HIGHEST RN 642407-31-6

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

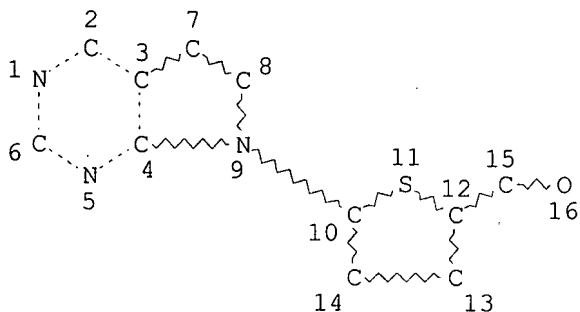
Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=&gt; d que stat l16

L14 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L16 11 SEA FILE=REGISTRY SSS FUL L14

100.0% PROCESSED 85 ITERATIONS

SEARCH TIME: 00.00.01

11 ANSWERS

=&gt; b cap

FILE 'CAPLUS' ENTERED AT 09:18:42 ON 28 JAN 2004  
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FILE COVERS 1907 - 28 Jan 2004 VOL 140 ISS 5  
FILE LAST UPDATED: 27 Jan 2004 (20040127/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

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=> d que nos 117
L14          STR
L16          11 SEA FILE=REGISTRY SSS FUL L14
L17          2 SEA FILE=CAPLUS ABB=ON PLU=ON L16
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FILE 'MARPAT' ENTERED AT 09:20:31 ON 28 JAN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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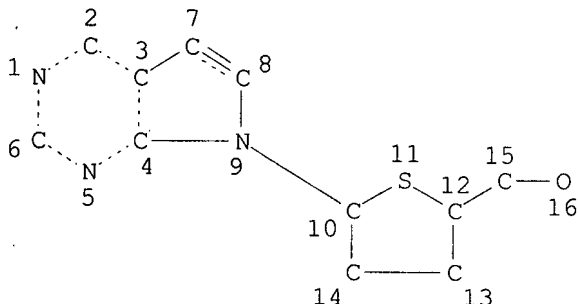
FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 140 ISS04) (20040123ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

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US      6667161 23 DEC 2003
DE      10317295 24 DEC 2003
EP      1371658 17 DEC 2003
JP      2003346928 05 DEC 2003
WO      2004000750 31 DEC 2003
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Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

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L21          STR
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NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE  
L23 33 SEA FILE=MARPAT SSS FUL L21

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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FILE 'MARPAT' ENTERED AT 09:21:12 ON 28 JAN 2004  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2004 American Chemical Society (ACS)  
PROCESSING COMPLETED FOR L17  
PROCESSING COMPLETED FOR L23  
L24 34 DUP REM L17 L23 (1 DUPLICATE REMOVED)  
ANSWERS '1-2' FROM FILE CAPLUS  
ANSWERS '3-34' FROM FILE MARPAT

=> d ibib abs hitstr 1-2;d ibib abs qhit 3-

L24 <sup>CAPLUS ANSWERS</sup> ANSWER 1 OF 34 <sup>MARPAT ANSWERS</sup> CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1  
ACCESSION NUMBER: 1994:183004 CAPLUS  
DOCUMENT NUMBER: 120:183004  
TITLE: Therapeutic antiviral deoxythioribonucleosides  
INVENTOR(S): Koszalka, George Walter; Van Draanen, Nanine Agneta;  
Freeman, George Andrew; Short, Steven Andersen;  
Slater, Martin John  
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK  
SOURCE: PCT Int. Appl., 39 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9401117	A1	19940120	WO 1993-GB1387	19930701
W:	AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW,			
	NO, NZ, PL, RO, RU, SD, SK, UA, US, VN			
RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,			
	BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9345084	A1	19940131	AU 1993-45084	19930701
PRIORITY APPLN. INFO.:			GB 1992-14170	19920702
			GB 1992-23181	19921105

WO 1993-GB1387.

19930701

OTHER SOURCE(S):

MARPAT 120:183004

AB 2'-Deoxy-4'-thioribonucleosides and their physiol. acceptable salts, esters, or salts of such esters are useful for the manufacture of a medicament for the treatment or prophylaxis of retroviral, cytomegaloviral, varicella zoster viral, Epstein-Barr viral, human herpes virus 6, and hepatitis viral infections, including hepatitis B, coxsackie virus and hepatitis C virus infections. 2'-Deoxy-4'-thioguanosine (preparation given) inhibited hepatitis B virus with an IC50 of <0.0032  $\mu$ M (74.5% inhibition) and a CCID50 of 13  $\mu$ M. Formulation examples are also given.

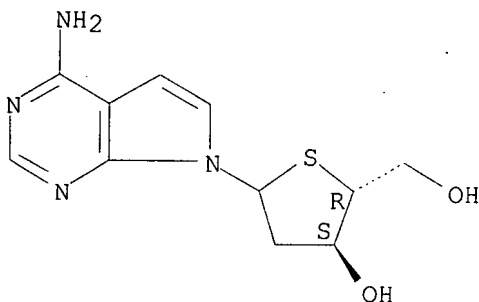
IT 153585-20-7 153585-20-7D, halo derivs.  
153585-21-8 153585-22-9 153585-22-9D, halo  
derivs. 153585-23-0

RL: BIOL (Biological study)  
(virus infection inhibition with)

RN 153585-20-7 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-(2-deoxy-4-thio-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

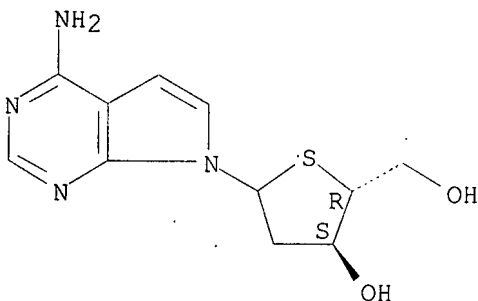
Absolute stereochemistry.



RN 153585-20-7 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-(2-deoxy-4-thio-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

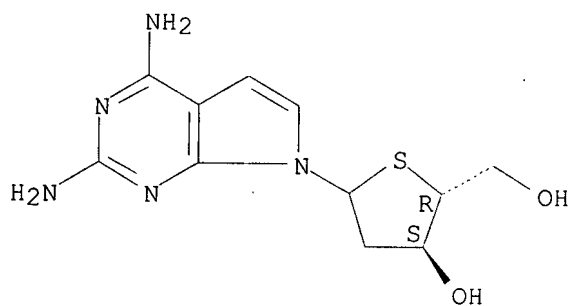
Absolute stereochemistry.



RN 153585-21-8 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-2,4-diamine, 7-(2-deoxy-4-thio-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

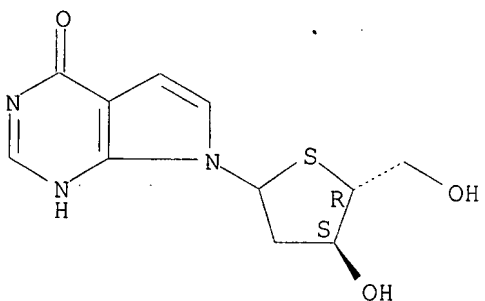
Absolute stereochemistry.



RN 153585-22-9 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-(2-deoxy-4-thio-D-erythro-pentofuranosyl)-1,7-dihydro- (9CI) (CA INDEX NAME)

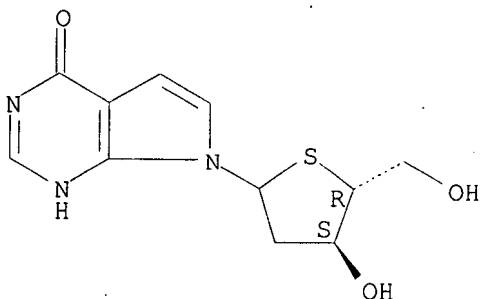
Absolute stereochemistry.



RN 153585-22-9 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-(2-deoxy-4-thio-D-erythro-pentofuranosyl)-1,7-dihydro- (9CI) (CA INDEX NAME)

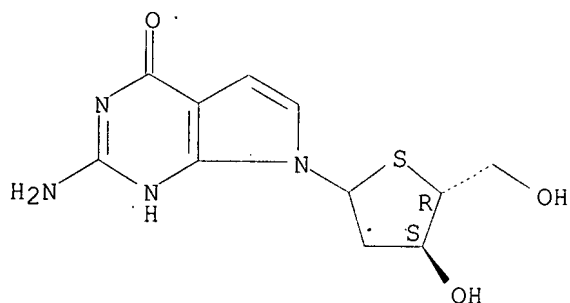
Absolute stereochemistry.



RN 153585-23-0 CAPLUS

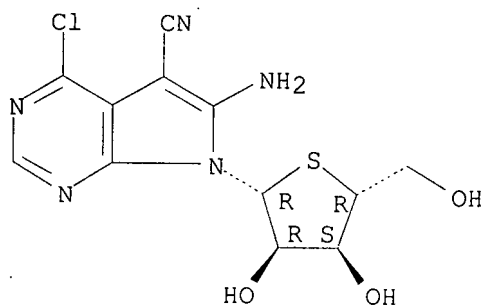
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-amino-7-(2-deoxy-4-thio-D-erythro-pentofuranosyl)-1,7-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



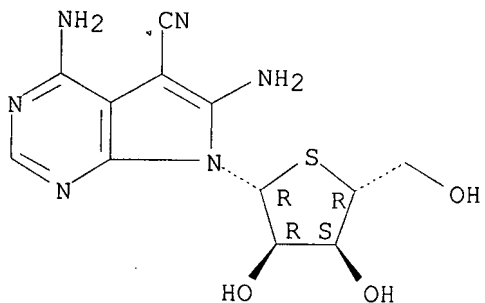
L24 ANSWER 2 OF 34 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1972:122281 CAPLUS  
 DOCUMENT NUMBER: 76:122281  
 TITLE: Synthesis and biological activity of 4'-thio analogs of the antibiotic toyocamycin  
 AUTHOR(S): Bobek, M.; Whistler, R. L.; Bloch, A.  
 CORPORATE SOURCE: Roswell Park Mem. Inst., New York State Dep. Health, Buffalo, NY, USA  
 SOURCE: Journal of Medicinal Chemistry (1972), 15(2), 168-71  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB 4'-Thiotoyocamycin (I) [34635-46-6] was prepared by condensation of 2,3,5-tri-O-acetyl-4-thio-D-ribofuranosyl chloride with 4-acetamido-6-bromo-7-chloromercuri-5-cyanopyrrolo[2,3-d]pyrimidine (II), followed by removal of the protecting groups with MeOH-NH<sub>3</sub> and removal of Br with H<sub>2</sub>/Pd catalyst. Also prepared were 4-chloro-6-amino-5-cyano-7-(4-thio-β-D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine (III) [34597-47-2], and 4,6-diamino-5-cyano-7-(4-thio-β-D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine (IV) [34597-48-3]. The 4'-thio derivs. were effective inhibitors of the growth of leukemia L-1210 cells in vitro at 4 .tim. 10<sup>-7</sup> to 5 .tim. 10<sup>-6</sup>M (50% growth reduction). I retained full inhibitory activity against Streptococcus faecium resistant to 10<sup>-3</sup>M toyocamycin [606-58-6].  
 IT 34597-47-2 34597-48-3 34635-46-6  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (biol. activity of)  
 RN 34597-47-2 CAPLUS  
 CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 6-amino-4-chloro-7-(4-thio-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



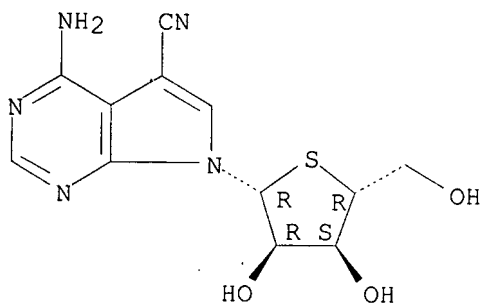
RN 34597-48-3 CAPLUS  
 CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4,6-diamino-7-(4-thio-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 34635-46-6 CAPLUS  
 CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4-amino-7-(4-thio-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

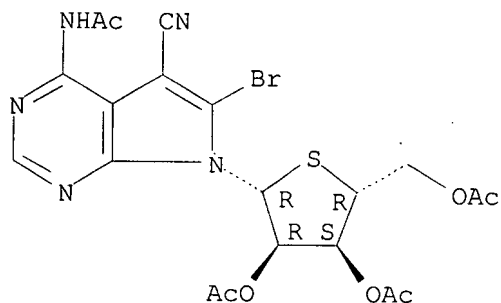
Absolute stereochemistry.



IT 36341-45-4P 36341-46-5P 36341-47-6P  
 36341-48-7P  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 36341-45-4 CAPLUS  
 CN Acetamide, N-[6-bromo-5-cyano-7-(2,3,5-tri-O-acetyl-4-thio-β-D-ribofuranosyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]- (9CI) (CA INDEX NAME)



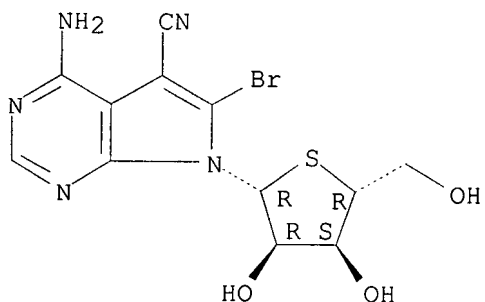
Absolute stereochemistry.



RN 36341-46-5 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4-amino-6-bromo-7-(4-thio-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

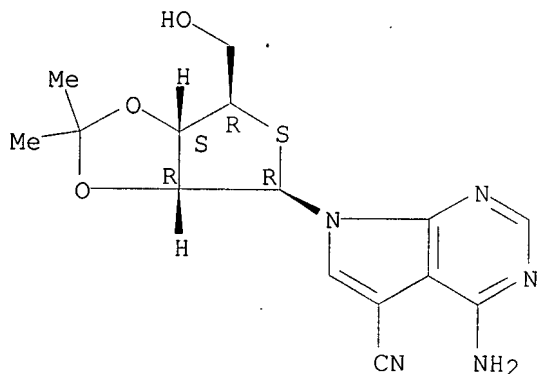
Absolute stereochemistry.



RN 36341-47-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4-amino-7-[2,3-O-(1-methylethylidene)-4-thio-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

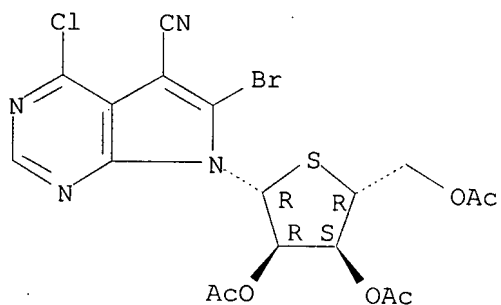
Absolute stereochemistry.



RN 36341-48-7 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 6-bromo-4-chloro-7-(2,3,5-tri-O-acetyl-4-thio-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



YOU HAVE REQUESTED DATA FROM 32 ANSWERS - CONTINUE? Y/(N):y

L24 ANSWER 3 OF 34 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 139:133787 MARPAT

TITLE: Preparation of deazapurine nucleoside analogs as antiviral agents

INVENTOR(S): An, Haoyun; Ding, Yili; Chamakura, Varaprasad; Hong, Zhi

PATENT ASSIGNEE(S): Ribapharm Inc., USA

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

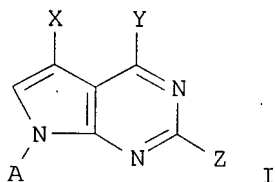
PATENT INFORMATION:

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WO 2003061576	A2	20030731	WO 2003-US1545	20030117
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:

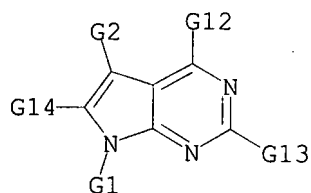
US 2002-350296P 20020117

GI

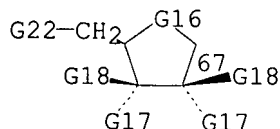


AB Methods, compns., and uses for various deazapurine nucleoside libraries and library compds. I are provided. Particularly preferred deazapurine nucleosides include 7-deazapurine nucleosides, 7-deaza-8-azapurine nucleosides, toyocamycin nucleoside analogs, 3-deazapurine nucleosides, and 9-deazapurine nucleosides, while preferred uses especially include use of such compds. as pharmacol., and particularly antiviral agents. 4-N,N-dimethylamino-7-( $\beta$ -D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine-5-N-hydroxycarbamide was prepared and tested in vitro as antiviral agent.

## MSTR 1



G1 = 67



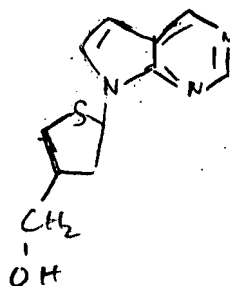
G16 = S

G22 = OH

MPL: claim 1

NTE: also incorporates claim 5

NTE: substitution is restricted



L24 ANSWER 4 OF 34 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 138:379194 MARPAT

TITLE: Ribonucleoside analogs for inhibition of RNA viruses

INVENTOR(S): Loakes, David; Brown, Daniel; Balzarini, Jan; Moriyama, Kei; Negishi, Kazuo; Cameron, Craig; Arnold, Jamie; Castro, Christian; Korneeva, Victoria; Graci, Jason

PATENT ASSIGNEE(S): Medical Research Council, UK

SOURCE: PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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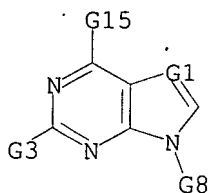
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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,  
 TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,  
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
 PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
 NE, SN, TD, TG

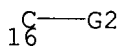
US 2003130226 A1 20030710 US 2002-207005 20020730  
 PRIORITY APPLN. INFO.: GB 2001-26701 20011107  
 US 2002-207005 20020730

AB The invention discloses pharmaceutical compns. containing ribonucleoside  
 analogs, in admixt. with a physiol. acceptable excipient diluent or  
 carrier. The ribonucleoside analogs of the invention inhibit the  
 replication and/or increase the mutation rate of an RNA virus. Preparation of  
 analogs is described. The compds. may be used to treat viral infections  
 in animals, including humans, and plants.

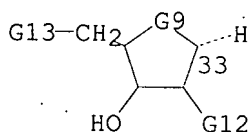
**MSTR 1**



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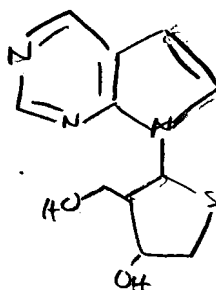
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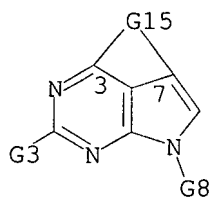
G9 = S

G13 = OH

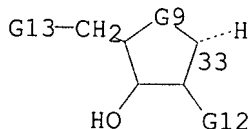
MPL: claim 1



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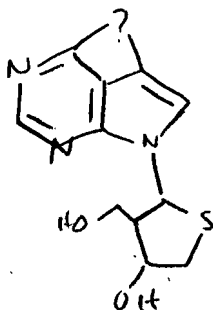
G8 = 33



G9 = S

G13 = OH

MPL: claim 1



L24 ANSWER 5 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 138:249716 MARPAT  
 TITLE: LNA containing base substitutions for use in hybridization and amplification processes  
 INVENTOR(S): Wengel, Jesper; Kauppinen, Sakari  
 PATENT ASSIGNEE(S): Exiqon A/S, Den.  
 SOURCE: PCT Int. Appl., 119 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

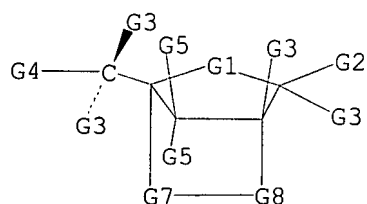
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020739	A2	20030313	WO 2002-IB3911	20020904
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US 2003224377 A1 20031204 US 2002-235683 20020904  
 PRIORITY APPLN. INFO.: US 2001-317034P 20010904  
 US 2001-323967P 20010922

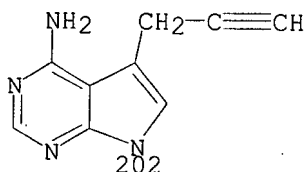
AB Modified LNA units are provided that comprise unique base groups. Desirable nucleobase and nucleosidic base substitutions, such as 1-pyrenyl groups, can mediate universal hybridization when incorporated into nucleic acid strands. LNA units containing the base substitutions will exhibit substantially constant  $T_m$  values upon hybridization with a complementary oligonucleotide irrespectively of the bases present in the base

substitute-complementary position. The novel LNA compounds may be used in a wide variety of applications, such as PCR primers, sequencing, synthesis of antisense oligonucleotides, hybridization probes for diagnostics and the like. Thus, the hybridization behavior of LNA containing various base substitutions (such as Ph, pyrenyl, naphthyl, etc.) is analyzed. Two examples of modified LNA units and their uses are described, i.e., use of pyrene-containing LNA-anchored oligo(T) primers to improve reverse transcription, and use of pyrene-containing LNA degenerate oligonucleotide primers for PCR screening of glycohydrolase family 45 genes in bacteria, Archaea, and fungi.

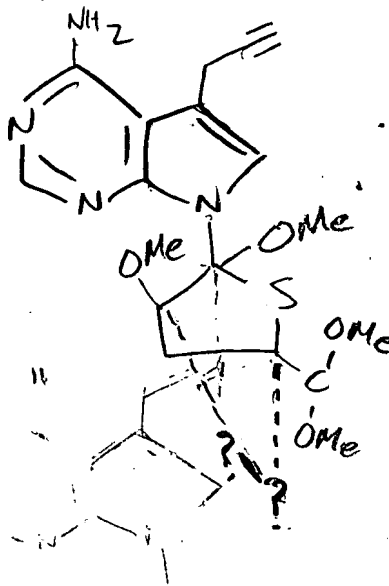
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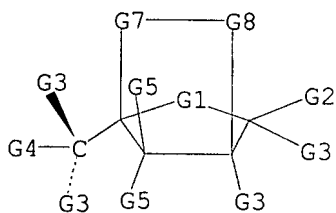
G1 = S  
G2 = 202



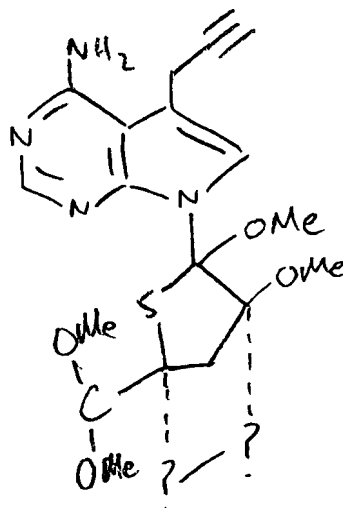
G3 = OMe  
MPL: claim 1

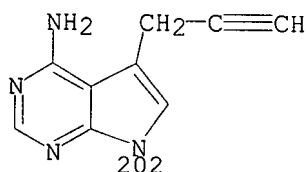


## MSTR 2



G1 = S  
G2 = 202



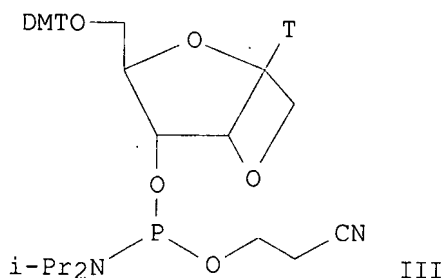
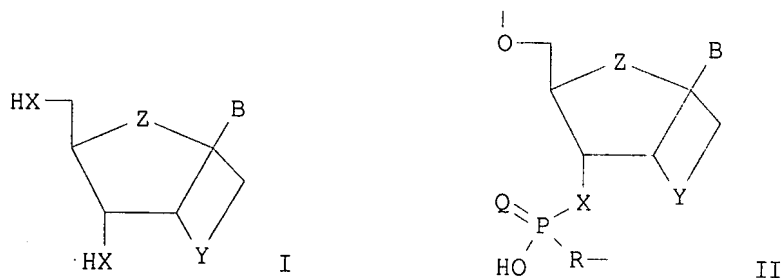


G3 = OMe  
MPL: claim 1

L24 ANSWER 6 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 136:355426 MARPAT  
TITLE: Preparation of modified nucleosides and nucleotides  
and use thereof  
INVENTOR(S): Chattopadhyaya, Jyoti  
PATENT ASSIGNEE(S): Swed.  
SOURCE: PCT Int. Appl., 33 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

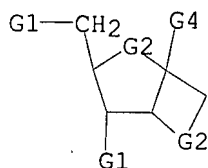
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002038578	A1	20020516	WO 2001-SE2484	20011109
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002014477	A5	20020521	AU 2002-14477	20011109
EP 1332150	A1	20030806	EP 2001-983021	20011109
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
PRIORITY APPLN. INFO.:			US 2000-247399P	20001109
			US 2001-308063P	20010725
			WO 2001-SE2484	20011109

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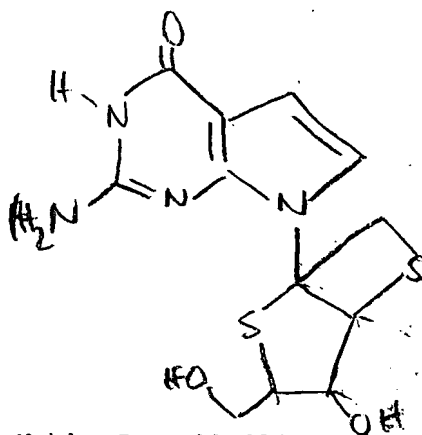


AB The present invention relates to the preparation of modified nucleotides and nucleosides I and II wherein Q = O, S; X = O, S, NH, NCH<sub>3</sub>, CH<sub>2</sub>, CHMe, Y = O, S, NH, NCH<sub>3</sub>, CH<sub>2</sub>, CHMe; Z = O, S, NH, NCH<sub>3</sub>, CH<sub>2</sub>, CHMe; R = O, S, NH, NCH<sub>3</sub>, CH<sub>2</sub>, CHMe; B = A, C, G, T; 5-F/Cl/BrU, 6-thioguanine, 7-deazaguanine; α- or β-D-(or L)ribo, xylo, arabino or lyxo configuration. The modified nucleotides and nucleotides are assembled to larger oligonucleotides and oligonucleosides, which, for example, may be used for diagnostics of polymorphisms and for antisense therapy of various conditions (no data). The oligonucleotides and oligonucleosides described in the invention have very good endonuclease resistance without compromising the RNA cleavage properties of RNase H. Thus, nucleoside phosphoramidite III was prepared and incorporated into oligonucleosides useful as endonuclease resistance without compromising the RNA cleavage properties of RNase H.

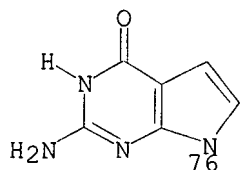
# MSTR 1



G1 = OH  
G2 = S  
G4 = 76







MPL: claim 1

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 34 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 136:177974 MARPAT

TITLE: Nicotinic acid adenine dinucleotide phosphate (NAADP) analogs for modulating T-cell activity

INVENTOR(S): Potter, Barry V. L.; Guse, Andreas H.; Mayr, Georg W.; Berg, Ingeborg

PATENT ASSIGNEE(S): University of Bath, UK

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

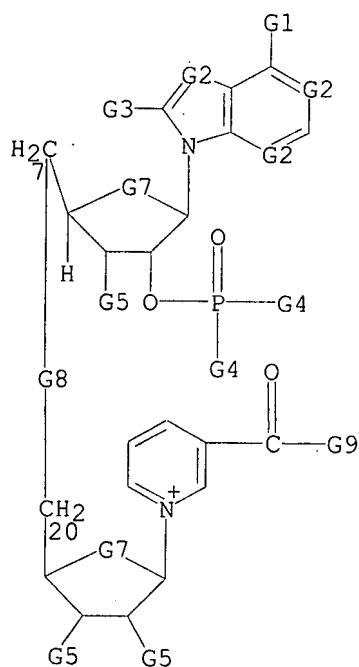
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

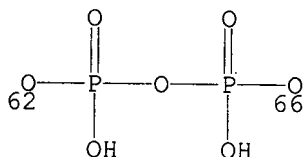
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002011736	A1	20020214	WO 2001-GB3440	20010731
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001075732	A5	20020218	AU 2001-75732	20010731
EP 1305035	A1	20030502	EP 2001-953243	20010731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			GB 2000-19234	20000804
			WO 2001-GB3440	20010731
AB A method for modulating T cell activity by modulating the intracellular concentration and/or activity of NAADP+, compds. capable of modulating the effect of NAADP+ on T cell Ca <sup>2+</sup> levels, and methods for identifying such compds., are described. Preparation of 8-bromo-nicotinic acid adenine dinucleotide phosphate is described.				

MSTR 1



G2 = CH / N  
 G7 = S  
 G8 = 62-7 66-20



MPL: claim 13.

REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 34 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 136:232504 MARPAT

TITLE: Preparation and immunomodulating effects at reduced cytotoxicity of pyrrolo[2,3-d]pyrimidine nucleoside analogs as antitumors

INVENTOR(S): Tam, Robert; Wang, Guangyi; Lau, Johnson; Hong, Zhi USA

PATENT ASSIGNEE(S):

SOURCE: U.S. Pat. Appl. Publ., 50 pp., Cont.-in-part of Appl. No. PCT/US00/22674.

CODEN: USXXCO

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.

KIND DATE

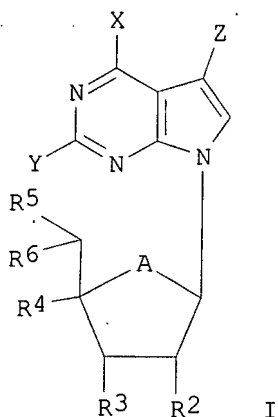
APPLICATION NO. DATE

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 NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR,  
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 WO 2002100354 A3 20030313  
 WO 2002100354 C1 20030710  
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 EP 1363581 A2 20031126 EP 2002-763190 20020228  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
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PRIORITY APPLN. INFO.:

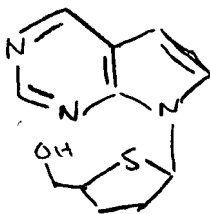
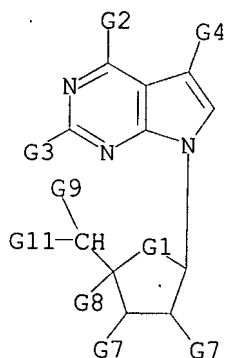
US 1999-151233P 19990827  
 US 2000-182676P 20000215  
 WO 2000-US22674 20000817  
 US 2001-797549 20010228  
 WO 2002-US6347 20020228

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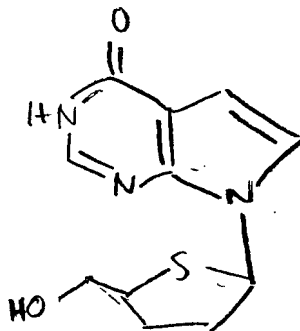
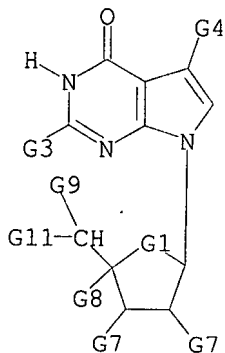


AB Compns. and methods for pyrrolo[2,3-d]pyrimidine nucleoside analogs I wherein A is O, S, or CH<sub>2</sub>; X is H, NH<sub>2</sub> or OH; Y is H, halogen or NH<sub>2</sub>; Z is selected from the group consisting of H, halogen, R, OH, OR, SH, SR, NH<sub>2</sub>, NHR, NR<sub>2</sub>, CN, C(O)NH<sub>2</sub>, COOH, COOR, CH<sub>2</sub>NH<sub>2</sub>, C(=NOH)NH<sub>2</sub>, and C(=NH)NH<sub>2</sub>, where R is alkyl, alkenyl, alkynyl, or aralkyl; R<sub>2</sub> and R<sub>3</sub> are independently selected from the group consisting of H, F, and OH; R<sub>4</sub> is

selected from the group consisting of a hydrogen, an alkyl, an alkenyl, an alkynyl, and an aralkyl, wherein R4 optionally has at least one of a heteroatom and a functional group; R5 is OH, OP(O)(OH)2, P(O)(OH)2, OP(O)(OR')2, or P(O)(OR')2, wherein R' is a masking group; and R6 is selected from the group consisting of an alkyl, an alkenyl, an alkynyl, and an aralkyl, wherein R6, has at least two carbon atoms, and optionally has at least one of a heteroatom and a functional group, having substituents at the C4' and C5' positions of the ribofuranose moiety are presented. Contemplated compns. exhibit, among other things, anti-cancer and immunomodulating effects at reduced cytotoxicity. Thus, I (A = O; R2-R4 = OH; R5 = = R6 = Me; Z = CN; X = NH2; Y = H) (II) was prepared and tested for its immunomodulating effect at reduced cytotoxicity as antitumor. Inhibition of vascular endothelial growth factor (VEGF) release in HTB 81 cells treated with II and inhibition of IL-8 release in HTB 81 cells at 0-50 uM are reported.

**MSTR 1**

G1 = S  
 G9 = OH  
 MPL: claim 1

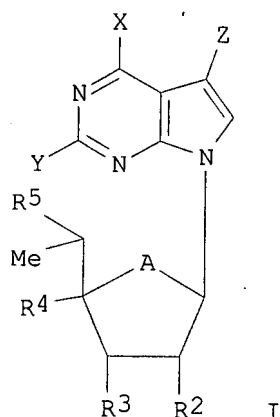
**MSTR 2**

G1 = S  
 G9 = OH  
 MPL: claim 3

L24 ANSWER 9 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 134:281074 MARPAT  
 TITLE: Preparation and immunomodulating effects at reduced  
 cytotoxicity of pyrrolo[2,3-d]pyrimidine nucleoside  
 analogs as antitumors  
 INVENTOR(S): Wang, Guangyi; Tam, Robert; Pietrzkowski, Zbigniew  
 PATENT ASSIGNEE(S): ICN Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 42 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 8  
 PATENT INFORMATION:

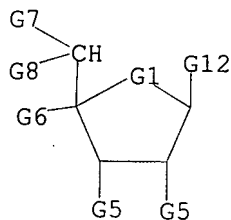
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BR 2000013642	A	20020507	BR 2000-13642	20000817
EP 1212326	A1	20020612	EP 2000-959267	20000817
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SI 20819	C	20020831	SI 2000-20035	20000817
JP 2003511454	T2	20030325	JP 2001-530332	20000817
US 2002035077	A1	20020321	US 2001-797549	20010228
ZA 2002001567	A	20030526	ZA 2002-1567	20020225
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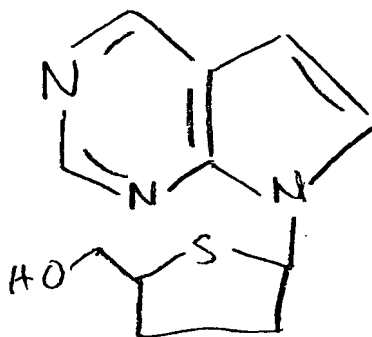


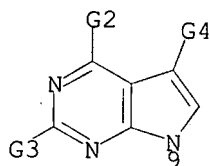
AB Compns. and methods for pyrrolo[2,3-d]pyrimidine nucleoside analogs I wherein A is O, S, or CH<sub>2</sub>; X is H, NH<sub>2</sub> or OH; Y is H, halogen or NH<sub>2</sub>; Z is selected from the group consisting of H, halogen, R, OH, OR, SH, SR, NH<sub>2</sub>, NHR, NR<sub>2</sub>, CN, C(O)NH<sub>2</sub>, COOH, COOR, CH<sub>2</sub>NH<sub>2</sub>, C(=NOH)NH<sub>2</sub>, and C(=NH)NH<sub>2</sub>, where R is alkyl, alkenyl, alkynyl, or aralkyl; R<sub>2</sub> and R<sub>3</sub> are independently selected from the group consisting of H, F, and OH; R<sub>4</sub> is selected from the group consisting of a hydrogen, an alkyl, an alkenyl, an alkynyl, and an aralkyl, wherein R<sub>4</sub> optionally has at least one of a heteroatom and a functional group; R<sub>5</sub> is OH, OP(O)(OH)<sub>2</sub>, P(O)(OH)<sub>2</sub>, OP(O)(OR')<sub>2</sub>, or P(O)(OR')<sub>2</sub>, wherein R' is a masking group; and R<sub>5</sub>' is selected from the group consisting of an alkyl, an alkenyl, an alkynyl, and an aralkyl, wherein R<sub>s</sub>, has at least two carbon atoms, and optionally has at least one of a heteroatom and a functional group, having substituents at the C4' and C5' positions of the ribofuranose moiety are presented. Contemplated compns. exhibit, among other things, anti-cancer and immunomodulating effects at reduced cytotoxicity. Thus, I (R<sub>2</sub>-R<sub>4</sub> = OH; R<sub>5</sub> = Me; Z = CN; X = NH<sub>2</sub>; Y = H) (II) was prepared and tested for its immunomodulating effect at reduced cytotoxicity as antitumor. Inhibition of VEGF release in HTB 81 cells treated with II and inhibition of IL-8 release in HTB 81 cells at 0-50 uM are reported.

## MSTR 1



G1 = S  
G7 = OH  
G12 = 9





MPL: claim 1  
 NTE: also incorporates claim 3

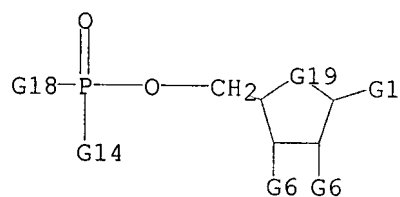
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 10 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 131:267028 MARPAT  
 TITLE: Nucleosides with antiviral and anticancer activity,  
 and preparation thereof  
 INVENTOR(S): Wagner, Carston R.; Griesgraber, George W.  
 PATENT ASSIGNEE(S): Regents of the University of Minnesota, USA  
 SOURCE: PCT Int. Appl., 91 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

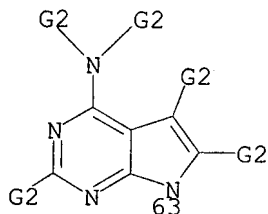
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WO 9949873	A1	19991007	WO 1999-US6467	19990326
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2326535	AA	19991007	CA 1999-2326535	19990326
AU 9933634	A1	19991018	AU 1999-33634	19990326
US 6475985	B1	20021105	US 2000-647206	20000927
PRIORITY APPLN. INFO.:			US 1998-79570P	19980327
			WO 1999-US6467	19990326

AB The invention provides nucleoside derivs. (Markush included) which possess antiviral and anticancer activity. Treatment of breast cancer is a preferred embodiment. Preparation and activity of e.g. 3-azido-3-deoxythymidine-5-methoxy-L-tryptophanyl phosphoramidate is included.

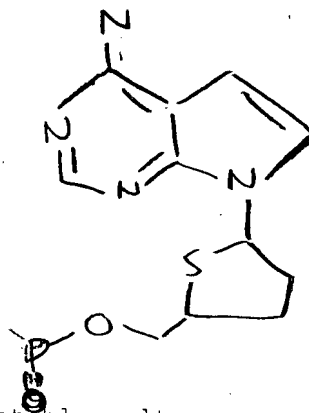
MSTR 1



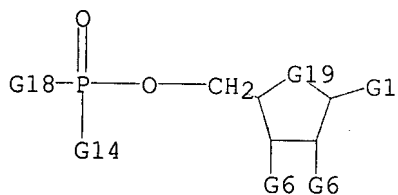
G1 = 63



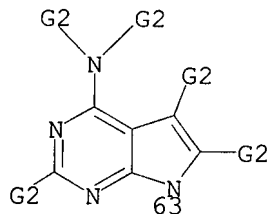
G19 = S  
 DER: or pharmaceutically acceptable salts  
 MPL: claim 1  
 NTE: also incorporates claim 96  
 NTE: substitution is restricted



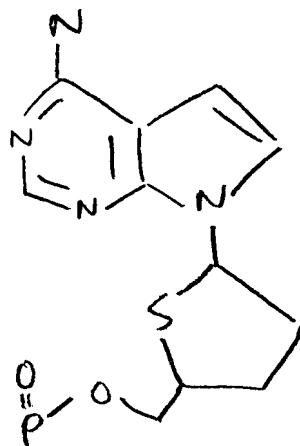
## MSTR 2



G1 = 63

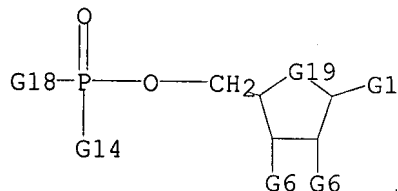


G19 = S  
 DER: or pharmaceutically acceptable salts  
 MPL: claim 53  
 NTE: also incorporates claim 95  
 NTE: substitution is restricted

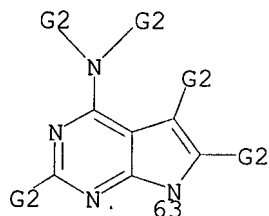




## MSTR 3

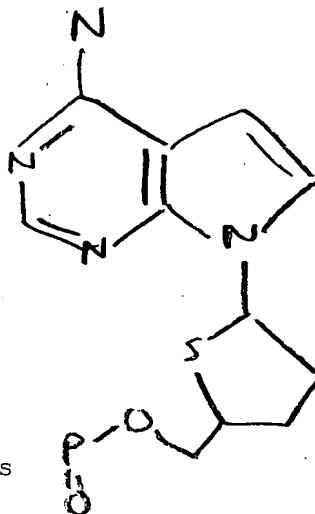


G1 = 63



G19 = S

DER: or pharmaceutically acceptable salts  
 MPL: claim 75  
 NTE: also incorporates claim 97  
 NTE: substitution is restricted



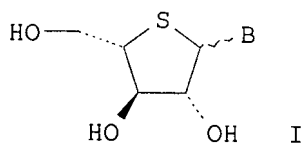
L24 ANSWER 11 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 131:185193 MARPAT  
 TITLE: Preparation of L-4'-arabinofuranonucleosides as  
 antiviral agents for hepatitis virus  
 INVENTOR(S): Sato, Hiroshi; Yoshimura, Yuichi; Ashida, Noriyuki;  
 Sudo, Kenji; Yokota, Tomoyuki  
 PATENT ASSIGNEE(S): Rational Drug Design Laboratories, Japan  
 SOURCE: PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943690	A1	19990902	WO 1999-JP827	19990224
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

PRIORITY APPLN. INFO.:

JP 1998-43893 19980225

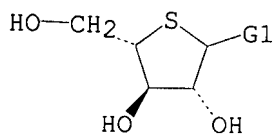
GI



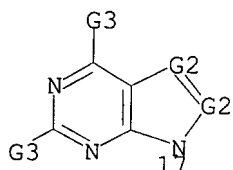
AB Disclosed are L-4'-thioarabinofuranonucleosides represented by formula (I; wherein B represents a nucleic acid base selected from among pyrimidine, purine, azapurine and deazapurine, each of which may be substituted with a halogen atom, an alkyl group, a haloalkyl group, an alkenyl group, a haloalkenyl group, an alkynyl group, an amino group, an alkylamino group, a hydroxyl group, a hydroxyamino group, an aminoxy group, an alkoxy group, a mercapto group, an alkylmercapto group, an aryl group, an aryloxy group, or a cyano group) and a medicine composition comprising the compound as an active component, especially antihepatitis virus composition. Thus, to a solution of 459 mg

N4-acetylcytosine in 10 mL MeCN was added 860  $\mu$ L bis(trimethylsilyl)acetamide (BSA), refluxed for 5.5 h, distilled in vacuo. The residue was dissolved in 5 mL MeCN, followed by adding 1-O-acetyl-2,3,5-tri-O-benzyl-4-thio-L-arabinose. To the resulting solution was added 290  $\mu$ L trimethylsilyl triflate and stirred at room temperature for 1.5 h to give, after workup and silica gel chromatog., 78% protected nucleoside. The latter nucleoside (417 mg) was dissolved in 10 mL CH<sub>2</sub>Cl<sub>2</sub>, cooled to -78°, and treated dropwise with a 1 M solution of BCl<sub>3</sub> in CH<sub>2</sub>Cl<sub>2</sub> (4.38 mL), and stirred at -78° for 30 min and -20° for 3 h to give, after workup and silica gel chromatog.,  $\alpha$ - and  $\beta$ -(L-4'-thioarabinofuranosyl)cytosine in 34 and 19% yield, resp.  $\alpha$ -(L-4'-Thioarabinofuranosyl)cytosine and 2,6-diamino-( $\beta$ -L-4'-thioarabinofuranosyl)purine inhibited the expression of HBV gene introduced in human liver cancer HB611 cells with EC<sub>50</sub> of 15.3 and 4.37  $\mu$ g/mL, resp.

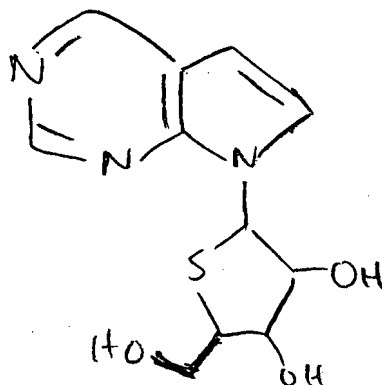
## MSTR 1



G1 = 17



G2 = CH (SO (1-) G4)  
MPL: claim 1



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS

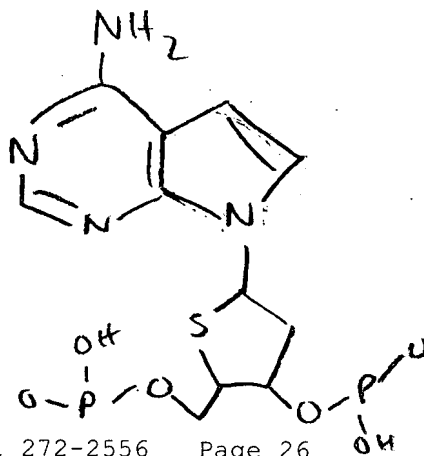
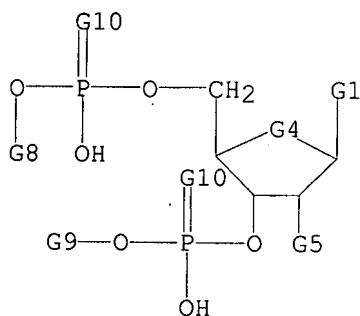
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 12 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 130:92125 MARPAT  
 TITLE: Hammerhead ribozymes with extended cleavage specificity  
 INVENTOR(S): Ludwig, Janos; Sproat, Brian S.  
 PATENT ASSIGNEE(S): Innovir Laboratories, Inc., USA  
 SOURCE: PCT Int. Appl., 67 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9858058	A1	19981223	WO 1998-US12663	19980617
W: AU, CA, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9879761	A1	19990104	AU 1998-79761	19980617
EP 1019497	A1	20000719	EP 1998-930352	19980617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002510207	T2	20020402	JP 1999-504776	19980617
PRIORITY APPLN. INFO.:				
			US 1997-878640	19970619
			WO 1998-US12663	19980617

AB Disclosed are compns. having an RNA-cleavage activity, as well as their use for cleaving RNA-substrates in vitro and in vivo. The compns. contain an active center, the subunits of which are selected from nucleotides and/or nucleotide analogs, as well as flanking regions contributing to the formation of a specific hybridization with an RNA substrate. Preferred compns. form, in combination with an RNA substrate, a structure resembling a hammerhead structure. Gerlach-type ribozyme analogs containing an inosine at position 15.1 (numbered according to the standard nomenclature of Hertel et al. (1992)) readily cleave RNA substrates containing an N16.2C16.1H17 triplet. It is preferred that H17 is not guanosine. The ability to cleave substrates having N16.2C16.1H17 triplets effectively doubles the number of targets available for cleavage by compns. of the type disclosed. Catalytic ribozymes are designed for cleave of hepatitis C virus RNA, human interleukin-2 mRNA, rat dopamine D2 receptor mRNA, and human ICAM-1 mRNA.

## MSTR 1



G1 = 114

G4 = S  
MPL: claim 1

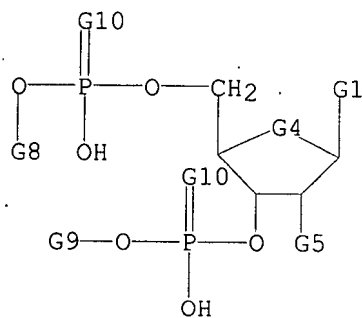
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 13 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 130:91249 MARPAT  
 TITLE: Inosine-containing Gerlach-type ribozyme analogs and their use in research and disease treatment  
 INVENTOR(S): Ludwig, Janos; Sproat, Brian S.  
 PATENT ASSIGNEE(S): Innovir Laboratories, Inc., USA  
 SOURCE: PCT Int. Appl., 62 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

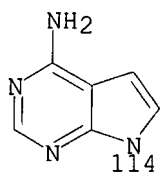
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9858057	A1	19981223	WO 1998-US12570	19980616
W: AU, CA, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6300483	B1	20011009	US 1997-879078	19970619
AU 9879728	A1	19990104	AU 1998-79728	19980616
US 2002161209	A1	20021031	US 2001-969423	20011002
PRIORITY APPLN. INFO.:			US 1997-879078	19970619
			WO 1998-US12570	19980616

AB Disclosed are compns. inducing cleavage of an RNA substrate, as well as their use for inducing cleavage of RNA substrates in vitro and in vivo. The compns. contain part of an active center, with the other part of the active center provided by the RNA substrate. The subunits of the active center region of the compns. are nucleotides and/or nucleotide analogs. The disclosed compns. also have flanking regions contributing to the formation of a specific hybridization with an RNA substrate. Preferred compns. form, in combination with an RNA substrate, a structure resembling a hammerhead structure. These Gerlach-type ribozyme analogs contain an active center characterized by the presence of I15.1 which allows cleavage of RNA substrates containing N16.2C16.1H17 triplets.

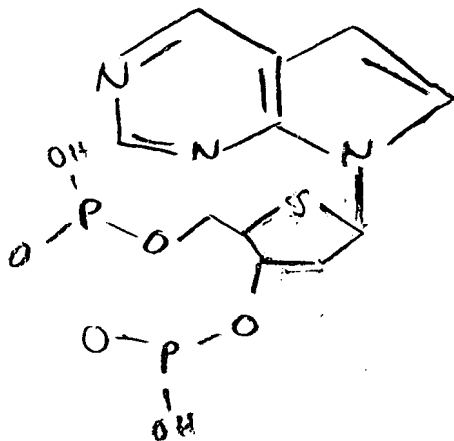
MSTR 1



G1 = 114



G4 = S  
MPL: claim 1



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 14 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 128:295004 MARPAT  
 TITLE: Preparation of purine L-nucleosides as modulators of Th1 and Th2 lymphokines  
 INVENTOR(S): Wang, Guangyi; Tam, Robert; Avertt, Deveron  
 PATENT ASSIGNEE(S): ICN Pharmaceuticals, USA; Wang, Guangyi; Tam, Robert; Avertt, Deveron  
 SOURCE: PCT Int. Appl., 46 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9816184	A2	19980423	WO 1997-US18387	19971015
WO 9816184	A3	19980528		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2323791	AA	19980423	CA 1997-2323791	19971015
AU 9748999	A1	19980511	AU 1997-48999	19971015
AU 727177	B2	20001207		
CN 1233254	A	19991027	CN 1997-198831	19971015
EP 961775	A2	19991208	EP 1997-911684	19971015

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI

SI 20024	C	20000229	SI 1997-20067	19971015
BR 9714349	A	20001114	BR 1997-14349	19971015
EP 1072607	A2	20010131	EP 2000-118428	19971015
EP 1072607	A3	20010912		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI

NZ 505553	A	20011130	NZ 1997-505553	19971015
NZ 505554	A	20011130	NZ 1997-505554	19971015
JP 2001524936	T2	20011204	JP 1998-518475	19971015
JP 2002105096	A2	20020410	JP 2001-110027	19971015
RU 2183639	C2	20020620	RU 1999-109467	19971015
CA 2322053	AA	19980716	CA 1998-2322053	19980113
EP 1103559	A1	20010530	EP 2000-118252	19980113

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IE, FI

NZ 505531	A	20010831	NZ 1998-505531	19980113
JP 2002080490	A2	20020319	JP 2001-155321	19980113
EP 1277759	A1	20030122	EP 2002-21843	19980113

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI

NZ 505530	A	20030228	NZ 1998-505530	19980113
EP 1329220	A1	20030723	EP 2003-8818	19980113

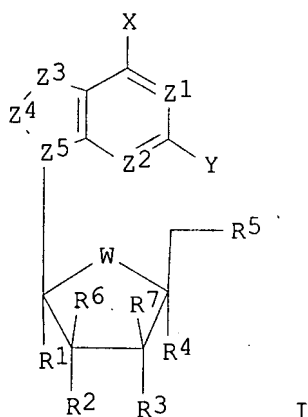
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IE, FI

ZA 9806641	A	20000124	ZA 1998-6641	19980724
NO 9901784	A	19990615	NO 1999-1784	19990415
KR 2000049181	A	20000725	KR 1999-703276	19990415
US 6455690	B1	20020924	US 2000-594647	20000615
US 6509320	B1	20030121	US 2000-594271	20000615
US 6479463	B1	20021112	US 2000-595364	20000616
HR 2000000421	A1	20001231	HR 2000-421	20000623
HR 20000421	B1	20020630		
AU 751742	B2	20020829	AU 2000-45137	20000705
CN 1286258	A	20010307	CN 2000-122458	20000726
CN 1296011	A	20010523	CN 2000-122459	20000726
NO 2000004326	A	19990615	NO 2000-4326	20000831
NO 2000004328	A	19990615	NO 2000-4328	20000831
US 2002058635	A1	20020516	US 2001-21772	20011030

PRIORITY APPLN. INFO.:

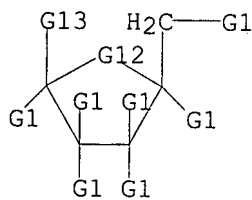
US 1996-28586P	19961016
US 1997-43974P	19970423
US 1997-55487P	19970812
US 1997-36094P	19970117
CA 1997-2266889	19971015
EP 1997-911684	19971015
JP 1998-518475	19971015
NZ 1997-505553	19971015
WO 1997-US18387	19971015
CA 1998-2278158	19980113
EP 1998-903474	19980113
JP 1998-531245	19980113
NZ 1998-336350	19980113
WO 1998-US634	19980113
US 1999-291907	19990414
US 1999-462714	19990709

GI



AB Purine L-nucleosides I (R1-R7 = independently H, OH, NH<sub>2</sub>, halogen, N<sub>3</sub>, CN, alkoxy, amine, NHNH<sub>2</sub>, NHOH, CHO, ester, amide, alkyl, alkenyl, alkynyl, aryl, aralkyl; W = O, S, CH<sub>2</sub>, Se; Z1, Z2 = C, N, CH; Z3-Z5 = independently alkenyl, imine, O, S, Se, CO, CS, SO, N<sub>2</sub>; X, Y = independently H, OH, NH<sub>2</sub>, halogen, N<sub>3</sub>, SNH<sub>2</sub>, SONH<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, CN, ester, amide, alkoxy, NH<sub>2</sub>NH<sub>2</sub>, NHOH, alkyl, alkenyl, alkynyl, aryl, aralkyl) were prepared as modulators of Th1 and Th2 lymphokines. The novel compds. or pharmaceutically acceptable esters or salts thereof may be used in pharmaceutical compns., and such compns. may be used to treat an infection, and infestation, a neoplasm, or an autoimmune disease. The novel compds. may also be used to modulate aspects of the immune system, including modulation of Th1 and Th2. Thus, 8-allyloxy-β-L-guanosine was prepared and tested in vitro on IL-2 TNFα, IFN-γ, IL-4, and IL-5.

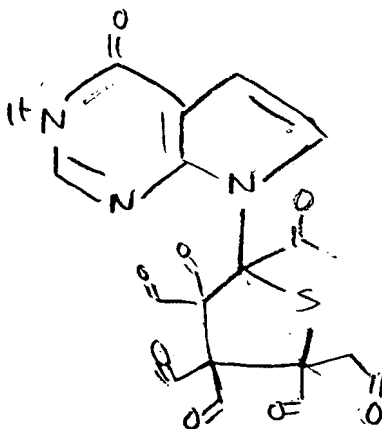
#### MSTR 1

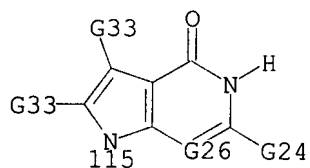


G1 = 20

<sup>20</sup>C(O)-G6

G7 = O  
G12 = S  
G13 = 115



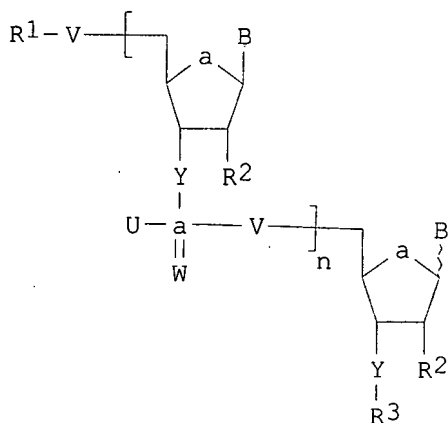


G26 = N  
MPL: claim 1

L24 ANSWER 15 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 130:66739 MARPAT  
TITLE: Preparation of modified oligodeoxyribonucleotide duplexes as virucides  
INVENTOR(S): Seela, Frank; Thomas, Horst  
PATENT ASSIGNEE(S): Hoechst Aktiengesellschaft, Germany  
SOURCE: U.S., 29 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5844106	A	19981201	US 1995-554164	19951106
US 6150510	A	20001121	US 1998-144112	19980831
US 6479651	B1	20021112	US 2000-643233	20000822
US 2003096981	A1	20030522	US 2002-222825	20020819
PRIORITY APPLN. INFO.:			DE 1994-4438918	19941104
			US 1995-554164	19951106
			US 1998-144112	19980831
			US 2000-643233	20000822

GI



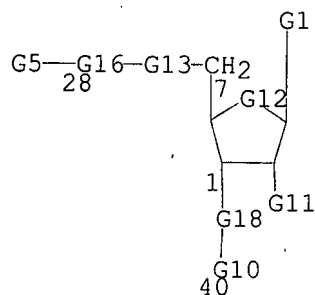
I

AB Modified oligodeoxyribonucleotides I ( B = substituted nucleobase, R1 = H, alkyl, alkenyl, alkynyl, alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl; R2 = H, OH, alkoxy, alkenyloxy, halo, azido, NH2; a = oxy, sulfanediyl,

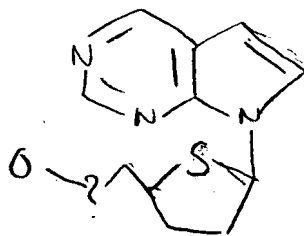
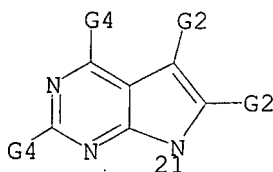


methylene; n = 1 or higher; W = oxo, thioxo, selenoxo; V = oxy, sulfanediyl, imino; Y = oxy, sulfanediyl, imino, methylene) which possess at least one substituted 7-deazapurine base form more stable hybridization complexes with nucleic acids than unsubstituted analogs were prepared as virucides. They are useful as inhibitors of gene expression, as probes for detecting nucleic acids, as aids in mol. biol. and as pharmaceuticals or diagnostic agents. Thus, 2-amino-7-(2-deoxy- $\beta$ -D-erythropentofuranosyl)-5-(1-hexynyl)-3,7-dihydro-4H-pyrrolo[2,3-d]pyrimidin-4-one was prepared and incorporated into oligodeoxyribonucleotide duplex. These compds. were tested against herpes viruses (no data).

## MSTR 1



G1 = 21



G12 = S

G16 = O

DER: and physiologically acceptable salts

MPL: claim 1

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 16 OF 34 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 129:122844 MARPAT

TITLE: Preparation of 2'-azido-2'-deoxy-4'-thioribonucleosides as ribonucleotide reductase inhibitors

INVENTOR(S): Yamada, Kohei; Yoshimura, Yuichi

PATENT ASSIGNEE(S): Yamasa Shoyu Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

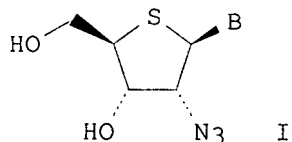
PATENT INFORMATION:

PATENT NO.

KIND DATE

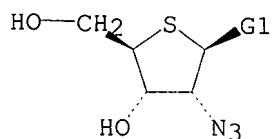
APPLICATION NO. DATE

JP 10168096	A2	19980623	JP 1996-339056	19961204
PRIORITY APPLN. INFO.:			JP 1996-339056	19961204
GI				

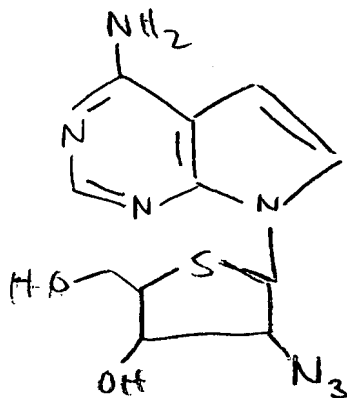
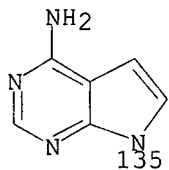


AB Title compds. I [B = (substituted) pyrimidine, purine, aza-purine, or deaza-purine residue] are prepared I show inhibition of ribonucleotide reductase and are useful as antiviral or antitumor agents (no data). 1-O-acetyl-2-azido-3-O-benzoyl-5-O-tert-butyldimethylsilyl-2-deoxy-4-thio-D-ribofuranose (preparation given) was treated with silylated N4-acetylcytosine and CF3SO3SiMe3 in CH2Cl2 at room temperature overnight and the product was deprotected with NH4HF2 in MeOH at room temperature overnight to give 35% I (B = cytosine residue).

## MSTR 1



G1 = 135



MPL: claim 1

L24 ANSWER 17 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 128:128248 MARPAT  
 TITLE: Solid phase synthesis of oligonucleotides using cyclic diacyl exo-amine protecting groups  
 INVENTOR(S): Pfleiderer, Wolfgang; Beier, Markus  
 PATENT ASSIGNEE(S): Hoechst A.-G., Germany  
 SOURCE: Ger. Offen., 26 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19627898	A1	19980115	DE 1996-19627898	19960711
EP 818460	A2	19980114	EP 1997-111426	19970707
EP 818460	A3	19990224		
EP 818460	B1	20030115		

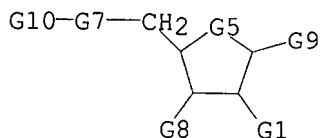
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

AT 231162	E	20030215	AT 1997-111426	19970707
PT 818460	T	20030630	PT 1997-97111426	19970707
ES 2188826	T3	20030701	ES 1997-111426	19970707
AU 9728552	A1	19980122	AU 1997-28552	19970709
AU 716391	B2	20000224		
CA 2210031	AA	19980111	CA 1997-2210031	19970710
NO 9703217	A	19980112	NO 1997-3217	19970710
JP 10072486	A2	19980317	JP 1997-185142	19970710
US 5936077	A	19990810	US 1997-893614	19970711
			DE 1996-19627898	19960711

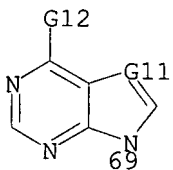
# PRIORITY APPLN. INFO.:

AB A method of solid phase synthesis of oligonucleotides using diacyl protecting groups for exo-cyclic amines is claimed. Thus, nucleotides having protected exo-amines are sequentially bound on a solid phase, and if necessary, existing phosphate protecting groups are removed using a strong, non-nucleophilic base, the oligonucleotide is deprotected, and then cleaved from the solid phase. Using this method, oligomers up to 22-mers were prepared

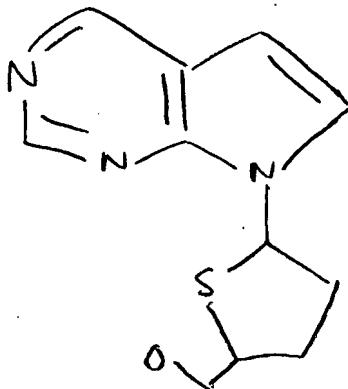
## MSTR 2



G5 = S  
G7 = O  
G9 = 69



G11 = CH  
MPL: claim 5  
NTE: substitution is restricted



L24 ANSWER 18 OF 34 MARPAT COPYRIGHT 2004 ACS on STN

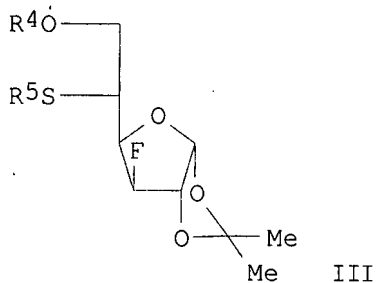
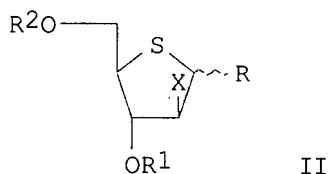
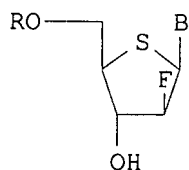
ACCESSION NUMBER: 127:319208 MARPAT

TITLE: Preparation of 9-(2-deoxy-2-fluoro-4-thio-β-D-arabinofuranosyl)purine derivatives as antiviral agents

INVENTOR(S): Yamada, Kohei; Yoshimura, Yuichi; Machida, Haruhiko; Watanabe, Mikari

PATENT ASSIGNEE(S): Yamasa Corp., Japan; Yamada, Kohei; Yoshimura, Yuichi;  
 SOURCE: Machida, Haruhiko; Watanabe, Mikari  
 PCT Int. Appl., 53 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

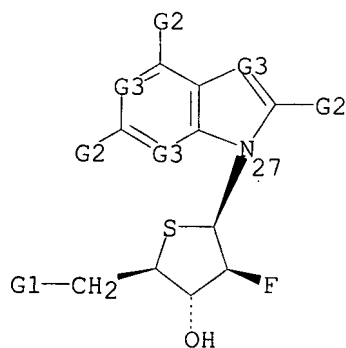
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9737993	A1	19971016	WO 1997-JP1205	19970409
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 10087687	A2	19980407	JP 1996-278630	19960930
CA 2224165	AA	19971016	CA 1997-2224165	19970409
EP 839813	A1	19980506	EP 1997-916632	19970409
R: CH, DE, ES, FR, GB, IT, LI				
US 6103707	A	20000815	US 1998-973530	19980629
PRIORITY APPLN. INFO.:			JP 1996-111968	19960409
			JP 1996-215083	19960726
			JP 1996-215084	19960726
			WO 1997-JP1205	19970409
OTHER SOURCE(S):			CASREACT 127:319208	
GI				



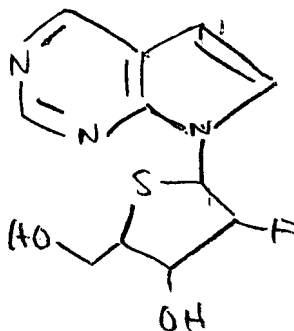
AB The title 9-(2-Deoxy-2-fluoro-4-thio-β-D-arabinofuranosyl)purine derivs. of general formula (I; B = a base selected from the group consisting of purines, azapurines and deazapurines which may be substituted by halogeno, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, amino, alkylamino, hydroxy, hydroxyamino, aminoxy, alkoxy, mercapto, alkylmercapto, aryl, aryloxy or cyano; R = hydrogen or phosphate residue), having excellent antiviral activity, are prepared by fluorination of 1,4-anhydro-4-thio-D-arabitol derivative (II; X = OH, R = H; R1, R2 = alkyl, silyl, acyl) with Et2NSF3 (DAST) to 1,4-anhydro-2-deoxy-2-fluoro-4-thio-D-

arabitol derivative II (X = F, R = H; R1, R2 = same as above), Pummerer rearrangement to 2-deoxy-2-fluoro-4-thio-D-arabinose derivative II (X = F, R = OR3; wherein R3 = acyl; R1, R2 = same as above), and condensation with a purine or aza- or deazapurine base followed by deprotection. They are also prepared by fluorination of 1,2:5,6-di-O-isopropylidene- $\alpha$ -D-allofuranose to 1,2:5,6-di-O-isopropylidene-3-deoxy-3-fluoro- $\alpha$ -D-glucofuranose, selective removal of the 5,6-isopropylidene group, conversion to an 5,6-epoxide and then to a 5,6-thiirane (5,6-anhydro-1,2-O-isopropylidene-3-deoxy-3-fluoro- $\alpha$ -L-idofuranose), opening of the thiirane ring to 3-deoxy-3-fluoro-1,2-O-isopropylidene-5-thio- $\alpha$ -D-glucofuranose (III; R4, R5 = alkyl, acyl), oxidative degradation followed by 1-alkoxylation to II (X = F, R = OR8; wherein R8 = alkyl; R1, R2 = alkyl, acyl), and condensation with a purine or aza- or deazapurine base followed by deprotection. Thus, II (X = OH, R = H, R1 = CH2Ph, R2 = tert-butyldiphenylsilyl) was fluorinated by DAST at -78° for 3 h to give 55% II (X = F, R = H, R1 = CH2Ph, R2 = tert-butyldiphenylsilyl), which was oxidized by m-chloroperbenzoic acid in CH2Cl2 at -78° for 30 min and the product sulfoxide was heated with Ac2O at 110° for 2 h to give II (X = F, R = OAc, R1 = CH2Ph, R2 = tert-butyldiphenylsilyl). The latter compound was condensed with adenine in the presence of CF3SO3SiMe3 and mol. sieve 4A in CH2Cl2 at 0° for 30 min followed by debenzoylation with BCl3 in CH2Cl2 at 0° for 30 min and then desilylation with NH4F in DMF to give I (R = H, B = adenin-9-yl). The latter compound and I (R = H, B = 2,6-diaminopurin-9-yl) showed ED50 of 1.61 and 0.0057  $\mu$ g/mL, resp., for inhibiting the plaque formation in human fetus lung fibroblast infected with herpes simplex virus 1 (HSV-1).

## MSTR 1



G1 = OH  
 G3 = CH / N  
 MPL: claim 1



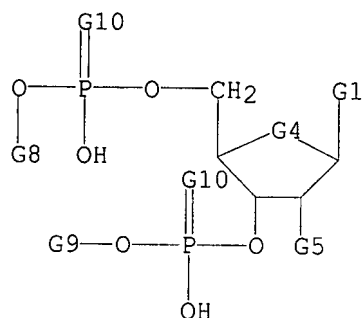
L24 ANSWER 19 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 127:62506 MARPAT  
 TITLE: Hammerhead ribozyme analogs containing modified bases and sugar moieties  
 INVENTOR(S): Ludwig, Janos; Sproat, Brian  
 PATENT ASSIGNEE(S): Vimrx Holdings, Ltd., USA; Ludwig, Janos; Sproat, Brian  
 SOURCE: PCT Int. Appl., 86 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

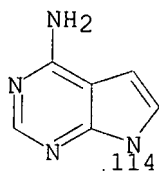
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9718312	A1	19970522	WO 1996-EP5014	19961114
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19542404	A1	19970515	DE 1995-19542404	19951114
AU 9675720	A1	19970605	AU 1996-75720	19961114
EP 866865	A1	19980930	EP 1996-938213	19961114
EP 866865	B1	20020206		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 2000501284	T2	20000208	JP 1997-518590	19961114
AT 213019	E	20020215	AT 1996-938213	19961114
PRIORITY APPLN. INFO.:				
			DE 1995-19542404	19951114
			US 1996-612298	19960307
			WO 1996-EP5014	19961114

AB Novel hammerhead ribozymes that have a catalytic core sequence and flanking sequences with either or both containing modified bases or backbone moieties are described for use in the in vitro or in vivo cleavage of mRNAs. These analogs are less sensitive to loss of activity by non-specific protein binding and appear to act synergistically with cellular proteins. Preferred cleavage sites for the catalytic core sequences chosen are comparatively rare, increasing the selectivity of the ribozyme. These ribozymes can be used for the therapeutic control of gene expression and as anticancer agents. Synthesis of ribozyme analogs active against a number of mRNAs is reported.

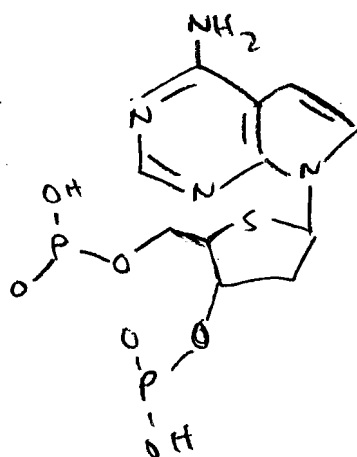
## MSTR 1



G1 = 114



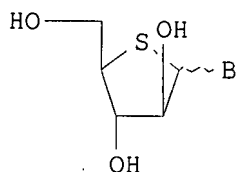
G4 = S  
 MPL: claim 1



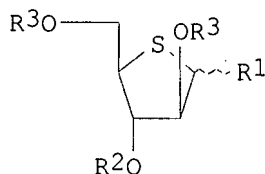
L24 ANSWER 20 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 127:278414 MARPAT  
 TITLE: Preparation of 4'-thioarabinopurine nucleosides as  
 antiviral agents  
 INVENTOR(S): Watanabe, Mikari; Yoshimura, Yuichi; Sakata, Shinji;  
 Ashida, Noriyuki; Machida, Haruhiko  
 PATENT ASSIGNEE(S): Yamasa Shoyu Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09249690	A2	19970922	JP 1996-191571	19960702
US 5817639	A	19981006	US 1996-679448	19960712
PRIORITY APPLN. INFO.:			JP 1995-201579	19950714
			JP 1996-20412	19960111

OTHER SOURCE(S): CASREACT 127:278414  
 GI



I



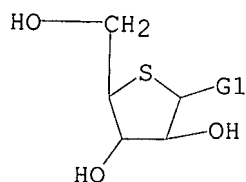
II

AB The title compds. (I; B = purine base other than adenine), which show excellent antiviral activity, are prepared via Pummerer rearrangement of 1-deoxy-4-thioarabinose derivs. (II; R1 = H; R2, R3 = HO-protecting group) to II (R1 = OAc; R2, R3 = same as above). An antiviral agent containing I as the active ingredient is claimed. Thus, II (R1 = H, R2 = R3 = CH2Ph) was oxidized by m-chloroperbenzoic acid in CH2Cl2 at -78° to quant. give the sulfoxide, which was heated with Ac2O under stirring at 100° for 3 h to give 56.5% II (R1 = OAc, R2 = R3 = CH2Ph). The latter compound was stirred with 2,6-diaminopurine in the presence of CF3SO3SiMe3 and mol. sieve 4A in MeCN at room temperature for 1 h to give II

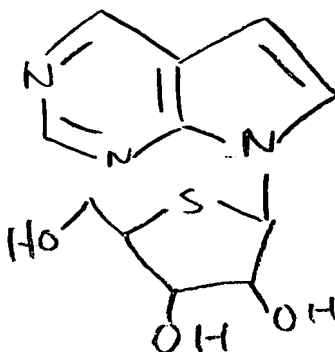
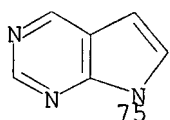
(R1

= 2,6-diaminopurin-9-yl, R2 = R3 = CH2Ph), which was treated with BC13 in CH2Cl2 at -78° for 1 h and -20° for 2 h to give, after silica gel chromatog.,  $\alpha$ - and  $\beta$ -I (R1 = 2,6-diaminopurin-9-yl).  $\beta$ -I (R1 = 2,6-diaminopurin-9-yl) showed ED50 of 0.52, 0.40, 0.11, and 0.022  $\mu$ g/mL against virus herpes simplex virus 1 (HSV-1), HSV-2, Varicella-zoster virus (VZV), and human cytomegalovirus (HCMV), resp.

MSTR 1



G1 = 75



MPL: claim 1

L24 ANSWER 21 OF 34 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 127:60627 MARPAT

TITLE: DNA-RNA oligomer analogs with splicing activity against interleukin, ICAM-1, MDR-1 or other mRNAs and therapeutic or other uses

INVENTOR(S): Ludwig, Janos; Dunkel, Martin; Gerdes, Willi; Blaschke, Martina; Sproat, Brian S.; Stadler, Herbert; Rupp, Thomas

PATENT ASSIGNEE(S): Ribonetics GmbH, Germany

SOURCE: Ger. Offen., 30 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

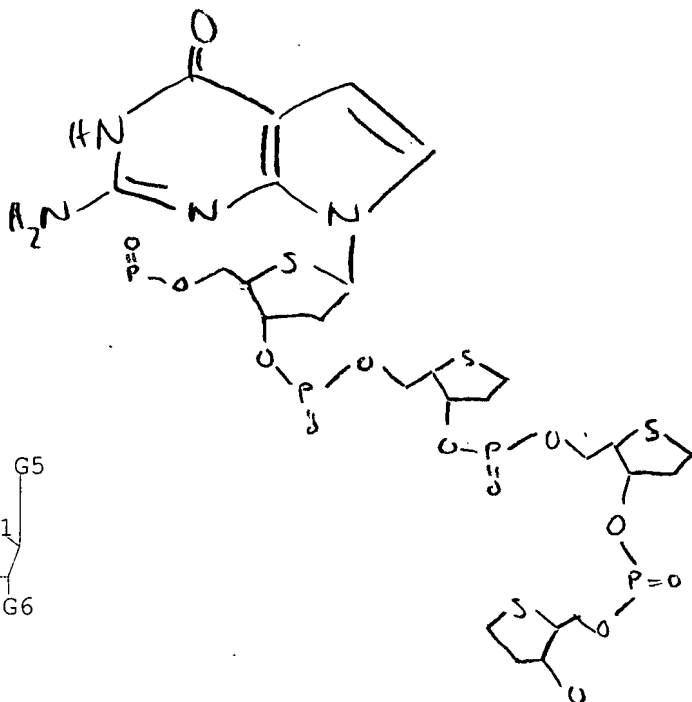
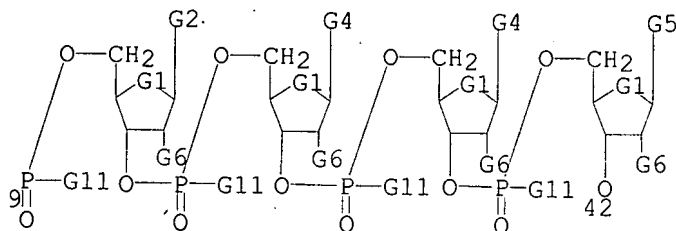
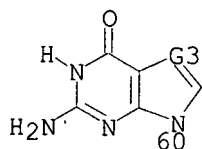
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19542404	A1	19970515	DE 1995-19542404	19951114
CA 2237528	AA	19970522	CA 1996-2237528	19961114
WO 9718312	A1	19970522	WO 1996-EP5014	19961114
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9675720	A1	19970605	AU 1996-75720	19961114
EP 866865	A1	19980930	EP 1996-938213	19961114
EP 866865	B1	20020206		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 2000501284	T2	20000208	JP 1997-518590	19961114
AT 213019	E	20020215	AT 1996-938213	19961114
PRIORITY APPLN. INFO.:				
			DE 1995-19542404	19951114
			US 1996-612298	19960307
			WO 1996-EP5014	19961114

AB Chimeric oligomers with RNA-splicing activities in vitro and in vivo are disclosed. The chimeric oligomers contain an active center comprising nucleotides or nucleotide analogs and sequences flanking the active center which specifically hybridize with target RNAs. These chimeric oligomers are useful for gene inactivation. Viral, tumor, or plant gene inactivation are included. Examples include oligomers with active centers GAA or CUGAUGA. Active center adenosines are modified with 2'-O-Me or 2'-O-(2-hydroxyethyl) groups. Flanking sequences are 2'-methoxy or

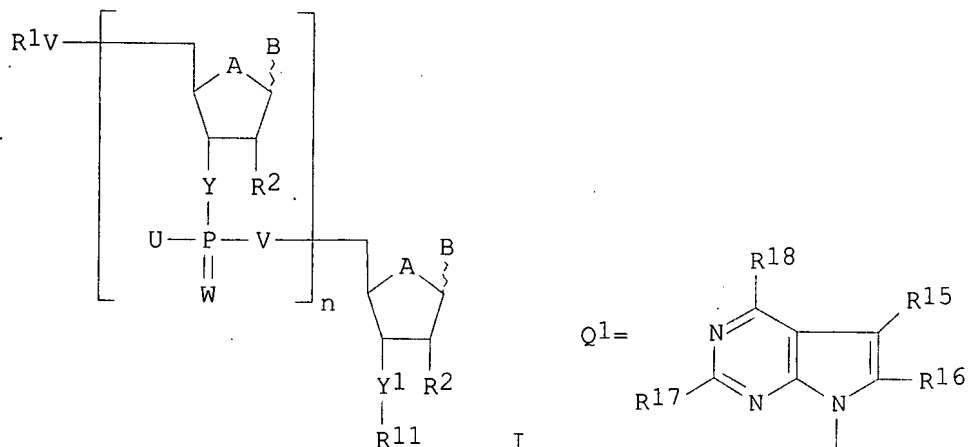


G12—G16—G12  
49 51



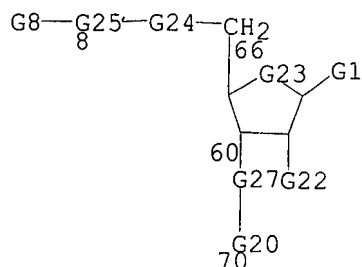
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 710667	A2	19960508	EP 1995-117058	19951030
EP 710667	A3	19970910		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4438918	A1	19960509	DE 1994-4438918	19941104
CA 2162075	AA	19960505	CA 1995-2162075	19951103
JP 08225589	A2	19960903	JP 1995-311636	19951106
PRIORITY APPLN. INFO.:			DE 1994-4438918	19941104

GI

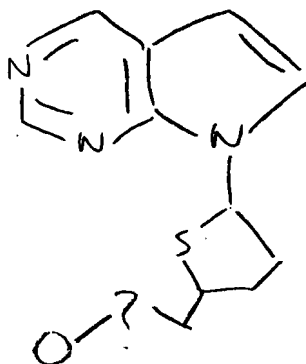


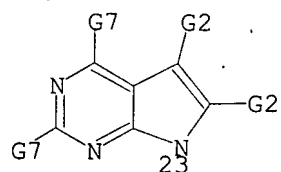
AB Title compds. [I; A = O, S, CH<sub>2</sub>; B = nucleotide base,  $\geq 1$  of which = Q<sub>1</sub>; U = OH, SH, SeH, alkoxy, alkyl, aryl, aralkyl, amino, etc.; V = O, S, imino; W = O, S, Se; Y = O, S, imino, CH<sub>2</sub>; Y<sub>1</sub> = O, S, imino, (CH<sub>2</sub>)<sub>m</sub>, V(CH<sub>2</sub>)<sub>m</sub>; Z, Z<sub>1</sub> = OH, SH, SeH, alkoxy, aminoalkoxy, etc.; m = 1-18; n  $\geq 1$ ; R<sub>1</sub> = H, alkyl, alkenyl, alkynyl, alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, aralkyl, protecting group, P(:W)Z<sub>1</sub>; R<sub>11</sub> = H, alkyl, alkenyl, alkynyl, alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, aralkyl, P(:W)Z<sub>1</sub>; R<sub>2</sub> = H, OH, alkoxy, alkenyloxy, halo, N<sub>3</sub>, NH<sub>2</sub>; R<sub>15</sub>, R<sub>16</sub> = H, halo, (substituted) alkyl, alkenyl, alkynyl, NO<sub>2</sub>, NH<sub>2</sub>, cyano, alkylthio, alkoxy, aryloxy, SiH<sub>3</sub>, CO<sub>2</sub>H, alkoxycarbonyl, etc.; R<sub>17</sub>, R<sub>18</sub> = HY, OH, NH<sub>2</sub>; the positions of R<sub>2</sub> and Y, or of R<sub>2</sub> and Y<sub>1</sub> may be interchanged], and deazapurine-containing monomers, were prepared. Thus, d(C17C7A-T)<sub>6</sub> (C17C7A = 7-chloro-7-desazaadenosine) was prepared by solid phase synthesis on controlled pore glass and the dimer showed T<sub>m</sub> = 60°.

## MSTR 1A



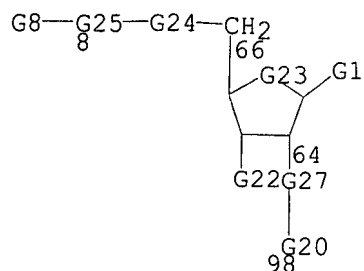
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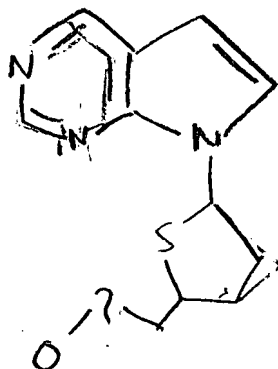
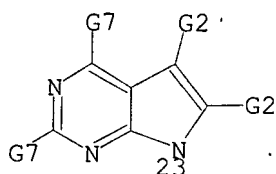


G23 = S  
 G25 = O  
 DER: and physiologically acceptable salts  
 MPL: claim 1  
 NTE: substitution is restricted

**MSTR 1B**

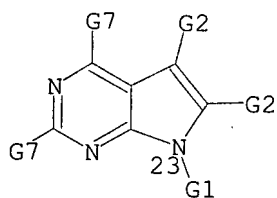


G1 = 23

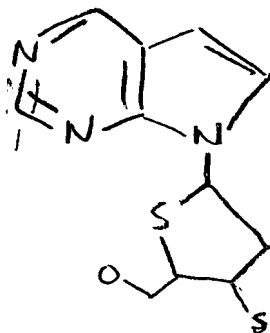


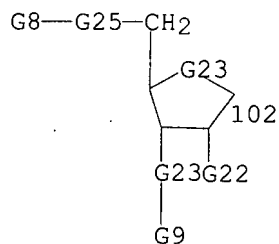
G23 = S  
 G25 = O  
 DER: and physiologically acceptable salts  
 MPL: claim 1  
 NTE: substitution is restricted

**MSTR 2**



G1 = 102



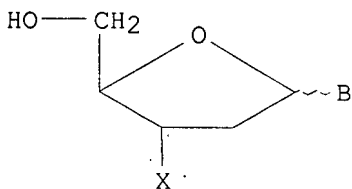


G23 = S  
 G25 = O  
 DER: and protected derivatives  
 MPL: claim 12  
 NTE: substitution is restricted

L24 ANSWER 23 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 123:74881 MARPAT  
 TITLE: 2',3'-Dideoxy-4'-thioribonucleosides as antiviral agents, and their preparation  
 INVENTOR(S): Montgomery, John A.; Secrist, John A., III  
 PATENT ASSIGNEE(S): Southern Research Institute, USA  
 SOURCE: PCT Int. Appl., 50 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9511685	A1	19950504	WO 1994-US12227	19941027
W: JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5478928	A	19951226	US 1993-142907	19931029
PRIORITY APPLN. INFO.:			US 1993-142907	19931029
			US 1990-513270	19900420
			US 1991-639021	19910109
			US 1992-862077	19920402

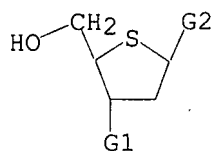
OTHER SOURCE(S): CASREACT 123:74881  
 GI



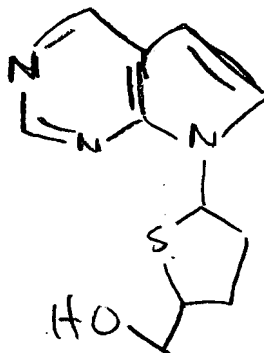
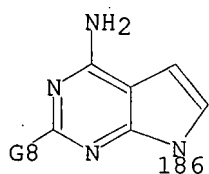
AB 2',3'-Dideoxy-4'-thioribonucleosides useful as antiviral agents in the treatment and prevention of AIDS are disclosed. In accordance with one aspect of the invention, there are provided compds. I (X = H, N3, F; B = pyrimidine, 5-azapyrimidine, 6-azapyrimidine, 3-deazapyrimidine, purine,

3-deazapurine, 7-deazapurine, 8-azapurine, 2-azapurine base). The intermediate 1-O-acetyl-5-O-t-butyldiphenylsilyl-4-thio-2,3-dideoxyribofuranose is useful in the production of certain of the 2',3'-dideoxy-4'-thioribonucleosides. Other intermediates include the 4-thio-2,3-dideoxyribofuranose having different hydroxyl protecting groups and leaving groups.

## MSTR 1



G2 = 186



MPL: claim 1

L24 ANSWER 24 OF 34 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 124:261621 MARPAT

TITLE: 2',3'-Dideoxy-4'-thioribonucleosides as anti-HIV agents useful in the treatment and prevention of AIDS

INVENTOR(S): Montgomery, John A.; Secrist, John A., III

PATENT ASSIGNEE(S): Southern Research Institute, USA

SOURCE: U.S., 13 pp. Cont.-in-part of U.S. Ser. No. 862,077, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5478928	A	19951226	US 1993-142907	19931029
US 5128458	A	19920707	US 1991-639021	19910109
WO 9511685	A1	19950504	WO 1994-US12227	19941027

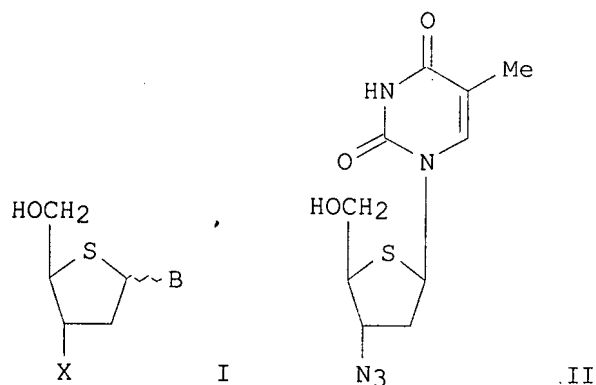
W: JP

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.:

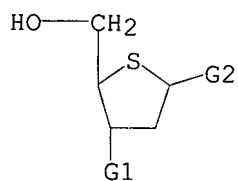
US 1990-513270	19900420
US 1991-639021	19910109
US 1992-862077	19920402
US 1993-142907	19931029

GI

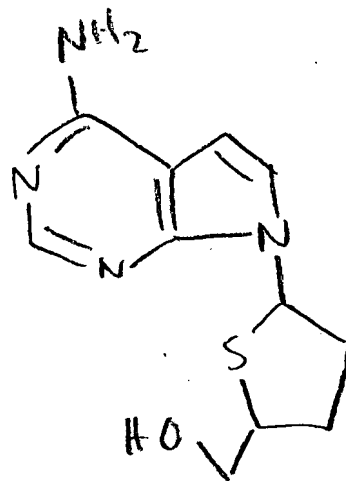
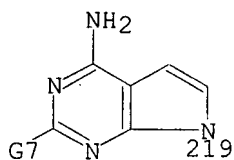


AB 2',3'-Dideoxy-4'-thioribonucleosides useful as antiviral agents in the treatment and prevention of AIDS are disclosed. In accordance with one aspect of the invention there are provided compds. of the formula I where X = H, N<sub>3</sub> or F, and B is a member selected from the group consisting of pyrimidine, 5-azapyrimidine, 6-azapyrimidine, 3-deazapyrimidine, purine, 3-deazapurine, 7-deazapurine, 8-azapurine, and 2-azapurine bases. Thus, e.g., 1-(2-deoxy-4-thio-β-D-ribofuranosyl)thymine is converted to its 5-O-trityl derivative with triphenylmethyl chloride and subsequently to 2,3'-anhydro-2'-deoxy-4'-thio-5-O-trityl-β-D-ribofuranosylthymine with DAST; azidation with NaN<sub>3</sub> followed by deprotection afforded 1-(2-deoxy-3-azido-4-thio-β-D-ribofuranosyl)thymine (II) which exhibited anti-HIV-1 activity in the CEM cell line with IC<sub>50</sub> = 0.45 μg/mL, TC<sub>25</sub> (min. drug concentration that reduced cell viability by 25%) > 100 μg/mL, and SI (selectivity index = TC<sub>25</sub>/IC<sub>50</sub>) > 222.02 vs. <0.03, >10, and > 313, resp., for AZT, and 0.05, 5.3, and 120, resp., for DDC.

## MSTR 1



G2 = 219



MPL: claim 1

L24 ANSWER 25 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 124:146760 MARPAT

TITLE: Oligonucleotide analogs containing unsaturated 3',5' and 2',5' allyl ether and allyl sulfide linkages capable of hybridizing to target nucleic acid sequences

INVENTOR(S): Matteucci, Mark D.; Cao, Xiaodong

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA

SOURCE: U.S., 77 pp. Cont.-in-part of U.S. Ser. No. 892,902. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

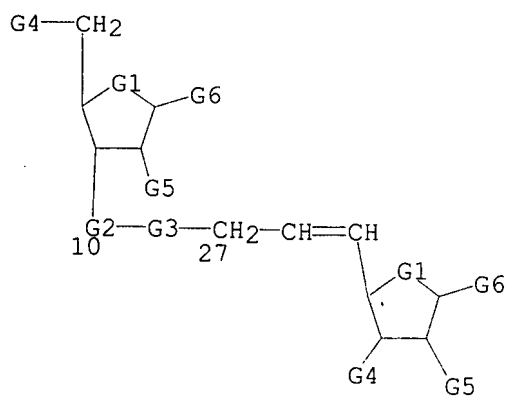
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5434257	A	19950718	US 1993-142785	19931026
US 5817781	A	19981006	US 1992-892902	19920601
AT 174599	E	19990115	AT 1993-915177	19930601
WO 9511911	A1	19950504	WO 1994-US12202	19941025
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6410702	B1	20020625	US 1998-165883	19981002
US 2003120050	A1	20030626	US 2002-176763	20020621
US 6683166	B2	20040127		
PRIORITY APPLN. INFO.:			US 1992-892902	19920601
			US 1993-142785	19931026
			US 1998-165883	19981002

GI

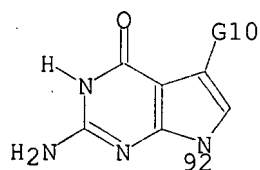
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Oligonucleotide analogs I and II where X is S, O, CH<sub>2</sub>, CHF or CF<sub>2</sub>; X<sub>1</sub> is O or S; R<sub>1</sub> is independently H, an oligomer or a blocking group including PO<sub>3</sub>-2, O-dimethoxytrityl (DMTO), O-monomethoxytrityl (MMTO), H-phosphonate (OPO<sub>2</sub>H), methylphosphonate (OPO<sub>3</sub>CH<sub>3</sub>), methylphosphonamidite, or a phosphoramidite such as β-cyanoethylphosphoramidite; R<sub>2</sub> independently is O-alkyl (C<sub>1</sub>-C<sub>12</sub> including O-Me, O-Et, O-Pr, O-Bu and their isomers), S-alkyl (C<sub>1</sub>-C<sub>12</sub>), H, OH, OCH<sub>3</sub>, SCH<sub>3</sub>, OCH<sub>2</sub>CH:CH<sub>2</sub> (O-allyl), OC<sub>3</sub>H<sub>7</sub> (O-propyl), SCH<sub>2</sub>CHCH<sub>2</sub>, or a halogen (F, Cl, Br or I); B is independently a base, and n is 0-100, preferably 0-28; both R<sub>1</sub> taken together can comprise a circular oligomer and may be covalently linked, for example, at a terminal 5' position with a terminal 2' or 3' position, are disclosed. The substitute linkage replace the usual phosphodiester linkage found in unmodified nucleic acids. The oligonucleotide analogs are easy to synthesize, stable in vivo, resistant to endogenous nucleases and are able to hybridize to target nucleic acid sequences in a sequence specific manner. Thus, e.g., 3'-H-phosphonate dimers III (X = O, S, preparation given) were incorporated into oligomers (5' TCT CTC TCT CT#T T#TT 3'; # = X-containing linkage) and tested for binding to single stranded DNA (3' AGA GAG AGA GAA AAA 5'): ΔT<sub>m</sub> was -3.25 and -3.0°, resp., for X = O and X = S.

MSTR 1

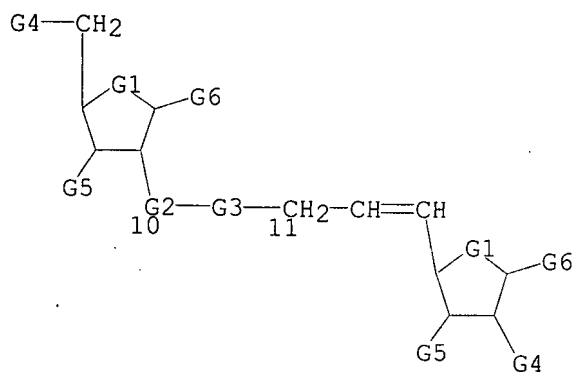


G1 = S  
G4 = OH  
G6 = 92

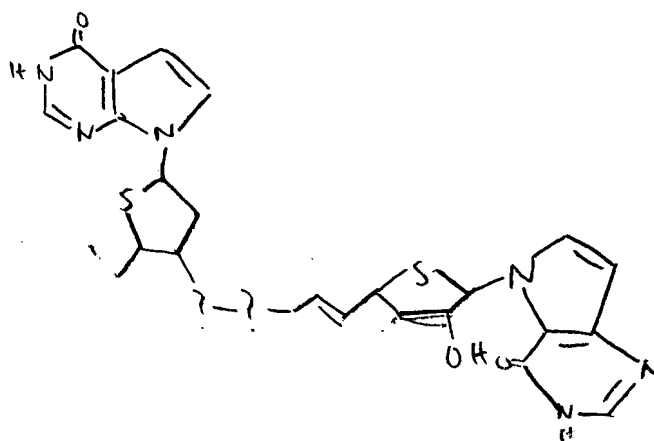
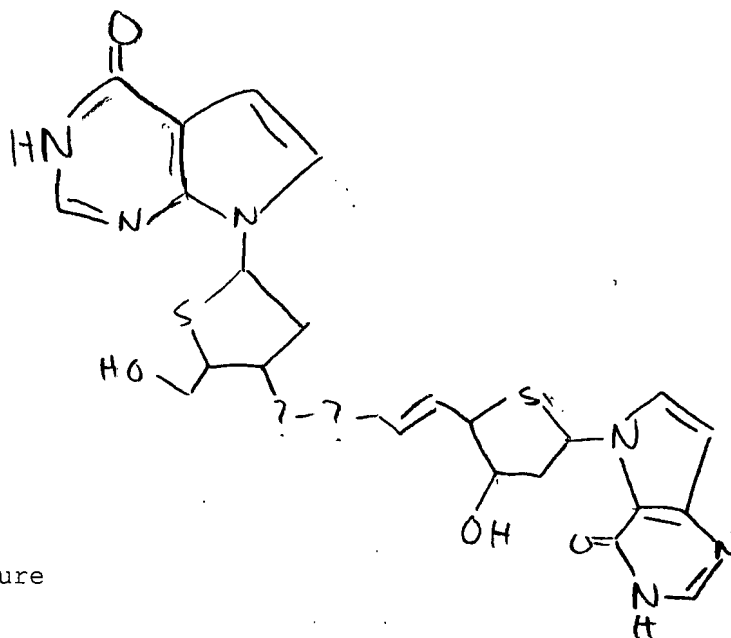


DER: and cyclic derivatives  
MPL: claim 4  
NTE: also incorporates broader disclosure

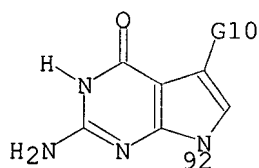
**MSTR 2**



G1 = S  
G4 = OH  
G6 = 92







MPL: claim 4

L24 ANSWER 26 OF 34 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 123:144508 MARPAT

TITLE: Enhanced triple-helix and double-helix formation with oligomers containing modified purines.

INVENTOR(S): Froehler, Brian; Matteucci, Mark

PATENT ASSIGNEE(S): Gilead Sciences, Inc, USA

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

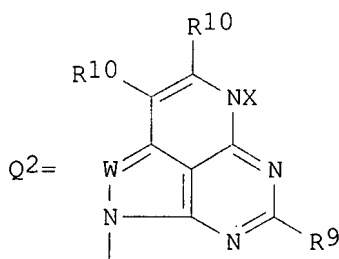
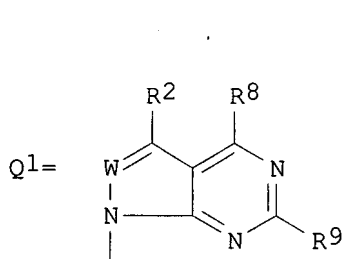
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9424144	A2	19941027	WO 1994-US4013	19940412
WO 9424144	A3	19950316		
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9466320	A1	19941108	AU 1994-66320	19940412
EP 695306	A1	19960207	EP 1994-914131	19940412
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5594121	A	19970114	US 1995-479248	19950607
PRIORITY APPLN. INFO.:				
			US 1993-50698	19930419
			US 1991-787920	19911107
			WO 1994-US4013	19940412

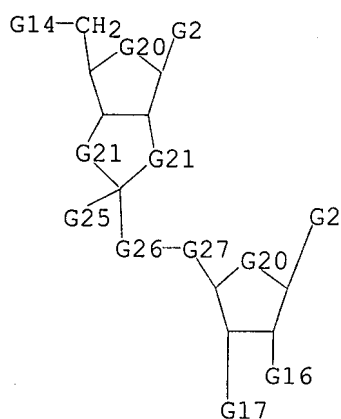
GI



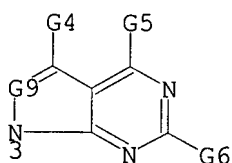
AB Oligomers comprising  $\geq 2$  nucleomonomers wherein  $\geq 1$  of the nucleomonomers comprises a base Q1 or Q2; [X = H, protecting group; W = CH, N; R2 = H, Me, group containing a C atom which is bonded to another atom via a  $\pi$  bond; R8 = OH, SH, NH2; R9 = H, OH, SH, NH2; R10 = H, OH, cyano, F, Cl, Br, iodo, alkyl, alkenyl, alkynyl, aryl, heteroaryl; R10R10 = atoms to form a 5-6 membered (substituted) carbocyclic or heterocyclic ring; with provisos], are claimed. The oligomers of the invention are

capable of (1) forming triplexes with various target sequences such as a virus or oncogene sequences by coupling into the major groove of a target DNA duplex at physiol. pH or (2) forming duplexes by binding to single-standard DNA or to RNA encoded by target genes. Thus, 7-deaza-7-iodo-2'-deoxyadenosine was stirred with CuI, Et<sub>3</sub>N, and (Ph<sub>3</sub>P)<sub>4</sub> in DMF under propyne overnight at room temperature; Dowex ion exchange resin was added and the mixture was stirred a further 2 h to give 38% 7-deaza-7-(1-propynyl)-2'-deoxyadenosine. Dimers and higher oligomers containing ≥1 Q1 or Q2 moiety are claimed, as is their use for detecting single- or double-stranded nucleic acids and for treating disease.

## MSTR 5



G2 = 3



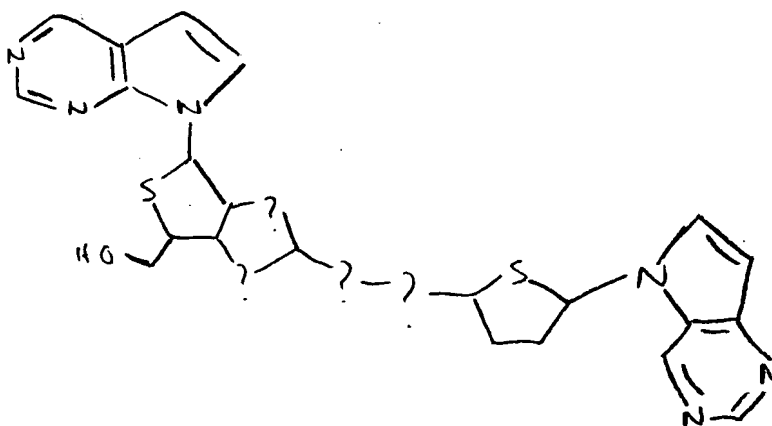
G9 = CH

G14 = OH

G20 = S

MPL: claim 50

NTE: additional ring formation allowed



L24 ANSWER 27 OF 34 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 123:56506 MARPAT

TITLE: Preparation of lyxofuranosylpyrrolopyrimidines and -pyrazolopyrimidines as adenosine kinase inhibitors.

INVENTOR(S): Erion, Mark David; Ugarkar, Bheemarao Ganapatrao; Castellino, Angelo John

PATENT ASSIGNEE(S): Gensia, Inc., USA

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

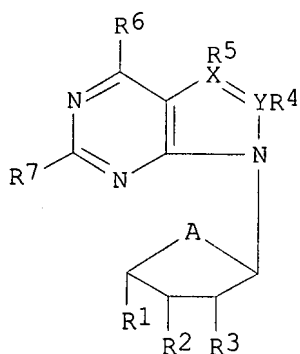
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9418215	A1	19940818	WO 1994-US1260	19940203
W: AT, AU, BB, BG, BR, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ; CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9461332	A1	19940829	AU 1994-61332	19940203
AU 673055	B2	19961024		
EP 684953	A1	19951206	EP 1994-907966	19940203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08506343	T2	19960709	JP 1994-518227	19940203
PRIORITY APPLN. INFO.:			US 1993-14159	19930203
			US 1994-182381	19940114
			WO 1994-US1260	19940203

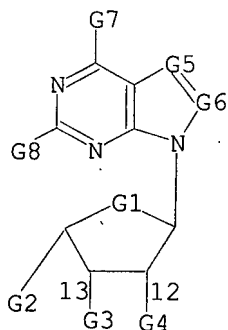
GI



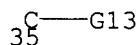
I

AB Title compds. (I; A = O, CH<sub>2</sub>, S; R<sub>1</sub> = CO<sub>2</sub>H, carboxyalkyl, carboxamido, alkenyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, etc.; R<sub>2</sub>, R<sub>3</sub> = H, OH or alkyl esters or carbonates thereof; R<sub>2</sub>R<sub>3</sub> = atoms to form a ring containing ≥2 O atoms; X, Y = C, N; X and Y cannot both simultaneously = N; R<sub>4</sub> = null, H, halo, alkyl, alkylamino, alkylthio, N<sub>3</sub>; R<sub>5</sub> = null, halo, alkyl, aryl, aralkyl, alkenyl, alkynyl, alkoxy, cyano, cyanoalkyl, carboxamido, aryloxy, amino, alkylamino, arylamino, aralkylamino, alkylthio, arylthio; R<sub>6</sub> = H, amino, halo, alkoxy, alkylthio, aryl, alkyl, alkylamino, arylamino, aralkylamino; R<sub>7</sub> = H, alkyl, halo, alkoxy, alkylthio; with addnl. provisos), were prepared Thus, 2,3-isopropylidene-5-tert-butyldimethylsilyl-L-lyxofuranose (preparation given) and CCl<sub>4</sub> in THF at -78° were treated with HMPT in THF; the mixture was warmed to -30°, stirred 30 min., cooled to -78°, and stirred a further 2 h. The chlorosugar mixture was added to 4-chloro-5-iodopyrrolo[2,3-d]pyrimidine and NaH in MeCN and the mixture was stirred overnight at room temperature to give 4-chloro-5-iodo-7-(5-tert-butyldimethylsilyl-2,3-isopropylidene-1α-L-lyxofuranosyl)pyrrolo[2,3-d]pyrimidine. This was stirred with CF<sub>3</sub>CO<sub>2</sub>H at 40° and the product was heated with NH<sub>3</sub> in MeOH in a bomb at 105° to give 4-amino-5-iodo-(1α-L-lyxofuranosyl)pyrrolo[2,3-d]pyrimidine. The latter at 1 μM in isolated guinea pig heart increased post-ischemic function to 71.4% of pre-ischemic left ventricular developed pressures, vs. 62.1% for untreated controls.

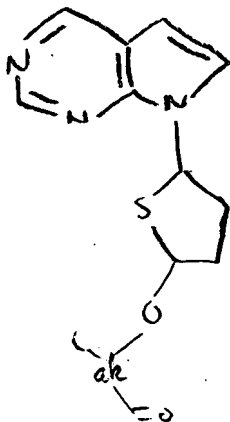
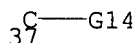
## MSTR 1



G1 = S  
 G2 = alkoxy carbonyl  
 G5 = 35



G6 = 37



DER: and pharmaceutically acceptable salts  
 MPL: claim 1  
 NTE: only one of G5 and G6 may be nitrogen; substitution is restricted

L24 ANSWER 28 OF 34 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 121:221999 MARPAT

TITLE: Preparation of adenosine kinase-inhibiting purine nucleoside analogs as antiinflammatory agents

INVENTOR(S): Firestein, Gary Steven; Ugarkar, Bheemarao Ganapatrao; Miller, Leonard Paul; Gruber, Harry Edward; Bullough, David Andrew; Erion, Mark David; Castellino, Angelo John

PATENT ASSIGNEE(S): Gensia, Inc., USA

SOURCE: PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

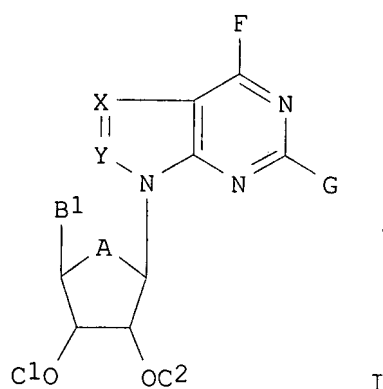
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9417803	A1	19940818	WO 1994-US1340	19940203
W: AT, AU, BB, BG, BR, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				

AU 9462365 A1 19940829  
 EP 682519 A1 19951122  
 R: CH, DE, FR, GB, IT, LI  
 US 5646128 A 19970708  
 PRIORITY APPLN. INFO.:

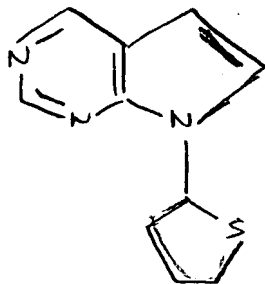
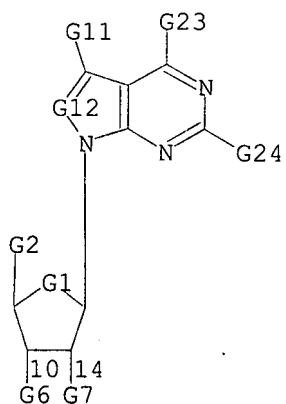
AU 1994-62365 19940203  
 EP 1994-909558 19940203  
 US 1994-349125 19941201  
 US 1993-14190 19930203  
 US 1989-408707 19890915  
 US 1990-466979 19900118  
 US 1991-647117 19910123  
 US 1991-812916 19911223  
 US 1994-192645 19940203  
 WO 1994-US1340 19940203

GI



AB Novel nucleosides I [A = O, CH<sub>2</sub>, S; B' = (CH<sub>2</sub>)<sub>n</sub>B, alkenyl, alkynyl; B = H, alkyl, alkoxy, NH<sub>2</sub>, alkylamino, etc.; C1, C2 = H, acyl, hydrocarbyloxycarbonyl, or ClC2 = C(:O), α-alkoxyalkylidene; X = CD; D = H, halo, alkyl, cyano, CO<sub>2</sub>H, etc.; Y = N, CE; E = H, halo, alkyl, alkylthio; F = alkyl, aryl, halo, cyano, indolyl, pyrrolidinyl, etc.; G = H, halo, alkyl, alkoxy, alkylamino, alkylthio; n = 1-4], prepared by multistep procedures which are described, selectively inhibit adenosine kinase and are useful in treatment of conditions characterized by an inflammatory response. Such conditions include sepsis, arthritis, autoimmune disease, burns, psoriasis, conjunctivitis, etc. Thus, mice with endotoxemia resulting from injection of *Escherichia coli* lipopolysaccharide showed a dose-dependent increase in survival in response to i.v. injection of the adenosine kinase inhibitor, 4-amino-1-(5-amino-5-deoxy-1-β-D-ribofuranosyl)-3-bromopyrazolo[3,4-d]pyrimidine-HCl; this effect was antagonized by the adenosine receptor antagonist 8-(p-sulfophenyl)theophylline.

MSTR 1

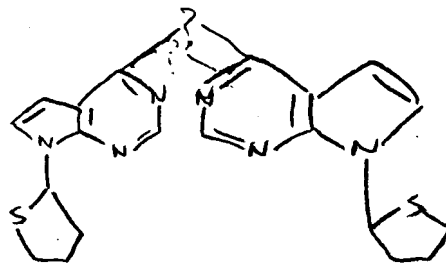
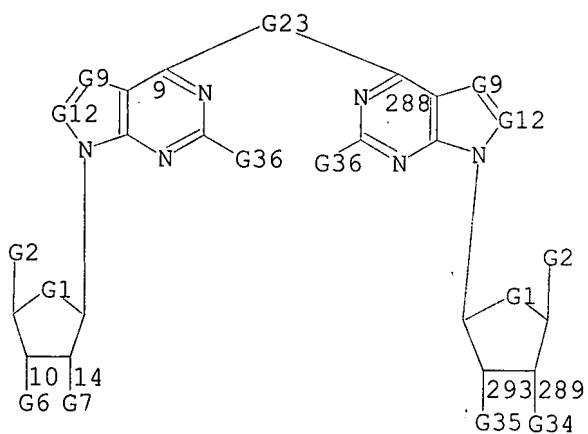


G1 = S  
 G3 = (1-4) CH<sub>2</sub>  
 G12 = 38

C—G13  
 38

G14 = O  
 DER: and pharmaceutically acceptable salts  
 MPL: claim 12  
 NTE: substitution is restricted  
 NTE: also incorporates claims 13 and 14

**MSTR 3**



G1 = S  
 G3 = (1-4) CH<sub>2</sub>  
 G4 = OH  
 G9 = 322

C—G11  
 322

G12 = 38

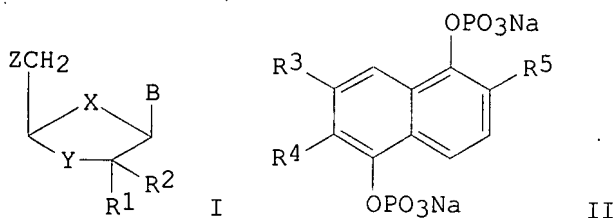
$$\begin{array}{c} \text{C} \\ \text{38} \end{array} \text{---G13}$$

DER: or pharmaceutically acceptable salts  
 MPL: claim 16  
 NTE: substitution is restricted

L24 ANSWER 29 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 119:265543 MARPAT  
 TITLE: Sensitizing agents for use in boron neutron capture therapy.  
 INVENTOR(S): Schinazi, Raymond F.; Liotta, Dennis C.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: PCT Int. Appl., 34 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9317028	A1	19930902	WO 1993-US1478	19930224
W:	AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA			
RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG			
US 5405598	A	19950411	US 1992-840093	19920224
AU 9337252	A1	19930913	AU 1993-37252	19930224
US 5462724	A	19951031	US 1995-380520	19950130
PRIORITY APPLN. INFO.:			US 1992-840093	19920224
			WO 1993-US1478	19930224

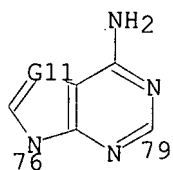
GI



AB The heteronucleosides I [Z = OH, OPO<sub>3</sub>H<sub>2</sub>, etc.; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, CF<sub>3</sub>, F; X, Y = O, S, (alkyl-substituted NH; B = carboranyl-substituted purine or pyrimidine base) and the 1,4-naphthalenediol bisphosphates II [R<sub>3</sub>, R<sub>4</sub> = H, B(OH<sub>2</sub>), carboranyl, etc.; R<sub>5</sub> = alkyl] are prepared as sensitizing agents in boron-capture therapy, especially of brain gliomas (no data). The compds. also exhibit antiviral activity in cells infected with the human immunodeficiency virus-1, without the use of neutrons.

MSTR 1

HC—G5  
26

Nc1nc2c(ncn2C1CCCC1)C1CCCC1

L24 ANSWER 30 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 122:161221 MARPAT  
TITLE: Preparation of oligothionucleotides as hybridization  
probes  
INVENTOR(S): Barascut, Jean Louis; Imbach, Jean Louis  
PATENT ASSIGNEE(S): Centre National de la Recherche Scientifique, Fr.  
SOURCE: PCT Int. Appl., 84 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

Searched by Noble Jarrell 272-2556 Page 55



FR 2687679	A1	19930827	FR 1992-11103	19920917
FR 2687679	B1	19941028		
EP 625986	A1	19941130	EP 1993-904155	19930204
EP 625986	B1	19970115		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

JP 07506345 T2 19950713 JP 1993-513826 19930204

AT 147752 E 19970215 AT 1993-904155 19930204

US 5639873 A 19970617 US 1994-284484 19940804

PRIORITY APPLN. INFO.:

FR 1992-1275 19920205

FR 1992-11103 19920917

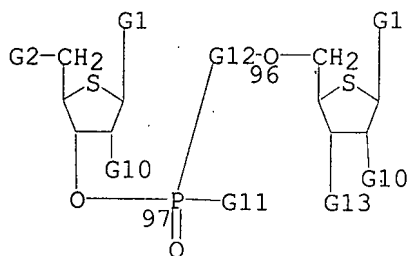
WO 1993-FR115 19930204

GI

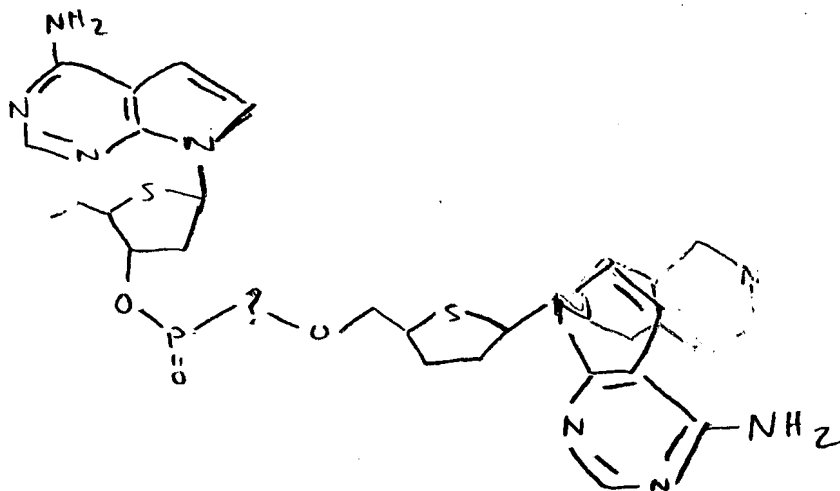
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

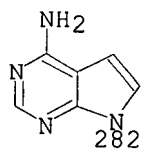
AB Title compds., oligo-4'-thio(2'-deoxy)ribonucleotides, e.g., I [B = (modified) nucleic acid base; X = O-, S-, substituted alkyl, alkoxy, etc.; R, R1 = H, Y-Z, Y1-Z1; Y, Y1 = (un)substituted alkylene; J = H, OH; Z, Z1 = OH, an effector radical, e.g., an intercalating agent carrying a function reacting directly or indirectly with the nucleotide chains or a radical whose presence permits easy detection; n = 0, an integer; L = O, S, NH] containing oligo-4'-thio(2'-deoxy)ribonucleotide units which can be linked to an effector radical, e.g., a radical carrying a function reacting directly or indirectly with the nucleotide chains or a radical whose presence permits easy detection, are prepared as hybridization probes. E.g., uridine was 5'-O-dimethoxytritylated, the product was 3'-O-silylated with tert-butyldimethylsilyl chloride, the product (II; B = uracil residue) was then 2'-O-bound to a modified controlled pore glass support and then subjected sequentially to detritylation, coupling with 2'-O-(tert-butyldimethylsilyl)-5'-O-dimethoxytrityluridine 3'-[methyl N,N-diisopropylphosphoramidite] (III) (preparation also shown), acetylation of the free 5'-OH groups, and oxidation. The above steps were repeated as necessary to give, after deprotection and support cleavage, homododecamer  $\beta$ rsU12 [IV; B = uracil residue, n = 10]. The hybridization of IV with polyrA was carried out and the stability of the duplex was examined. Other oligothionucleotides were also prepared.

## MSTR 1



G1 = 282





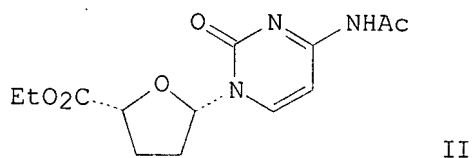
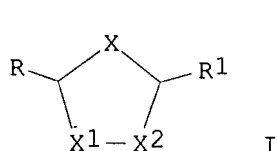
G2 = OH  
MPL: claim 3

L24 ANSWER 31 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 118:213449 MARPAT  
TITLE: Processes for the diastereoselective synthesis of nucleosides  
INVENTOR(S): Mansour, Tarek; Hin, Haolun; Tse, Allan H. L.; Siddiqui, Arshad M.  
PATENT ASSIGNEE(S): Biochem Pharma Inc., Can.  
SOURCE: Eur. Pat. Appl., 25 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 4  
PATENT INFORMATION:

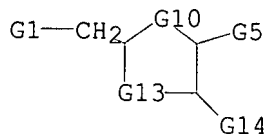
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 515156	A1	19921125	EP 1992-304551	19920520
EP 515156	B1	19960207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
ZA 9203640	A	19930224	ZA 1992-3640	19920519
ZA 9203641	A	19930224	ZA 1992-3641	19920519
CA 2069024	AA	19921122	CA 1992-2069024	19920520
CA 2069024	C	19970923		
CA 2069063	AA	19921122	CA 1992-2069063	19920520
CA 2069063	C	19970715		
NO 9201988	A	19921123	NO 1992-1988	19920520
NO 9201989	A	19921123	NO 1992-1989	19920520
AU 9216394	A1	19921126	AU 1992-16394	19920520
AU 655973	B2	19950119		
AU 9216395	A1	19921126	AU 1992-16395	19920520
AU 668086	B2	19960426		
HU 67726	A2	19950428	HU 1993-3296	19920520
HU 67471	A2	19950428	HU 1993-3297	19920520
AT 133958	E	19960215	AT 1992-304551	19920520
ES 2084937	T3	19960516	ES 1992-304551	19920520
IL 101931	A1	19961205	IL 1992-101931	19920520
IL 101932	A1	19970415	IL 1992-101932	19920520
AT 157662	E	19970915	AT 1992-304552	19920520
ES 2104832	T3	19971016	ES 1992-304552	19920520
IL 116176	A1	19980208	IL 1992-116176	19920520
IL 116109	A1	19981227	IL 1992-116109	19920520
CZ 284975	B6	19990414	CZ 1996-2224	19920520
CZ 285220	B6	19990616	CZ 1993-2492	19920520
RU 2163909	C2	20010310	RU 1996-119766	19920520
CN 1067245	A	19921223	CN 1992-103924	19920521
CN 1035555	B	19970806		
CN 1067654	A	19930106	CN 1992-103921	19920521
CN 1038591	B	19980603		

JP 05186465	A2	19930727	JP 1992-129155	19920521
JP 3229013	B2	20011112		
JP 05186463	A2	19930727	JP 1992-129163	19920521
JP 3330972	B2	20021007		
JP 2001354667	A2	20011225	JP 2001-136217	19920521
TW 467907	B	20011211	TW 1999-88109374	19920602
CN 1116204	A	19960207	CN 1995-102412	19950310
CN 1050603	B	20000322		
FI 9600286	A	19960119	FI 1996-286	19960119
CN 1229078	A	19990922	CN 1998-122383	19981203
CN 1109030	B	20030521		
CN 1229079	A	19990922	CN 1998-122384	19981203
CN 1097049	B	20021225		
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			WO 1992-CA211	19920520
			JP 1992-129155	19920521
			FI 1993-5151	19931119

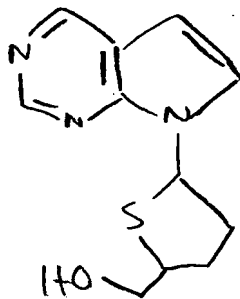
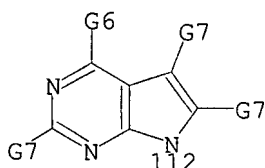
GI



AB Nucleoside analogs I (X = S, SO, SO<sub>2</sub>, O, NR<sub>2</sub>, CH<sub>2</sub>; X<sub>1</sub> = O, S, SO, SO<sub>2</sub>, NR<sub>2</sub>, CH<sub>2</sub>, CHF, CHN<sub>3</sub>, CHOH; X<sub>2</sub> = O, S, CH<sub>2</sub>, CHF, CHOH; R = H, acyl; R<sub>1</sub> = purine or pyrimidine base; R<sub>2</sub> = H, OH, alkyl, acyl) were prepared by glycosidating a purine or pyrimidine base with I (R<sub>1</sub> = leaving group) in presence of a Lewis acid. Thus, 5-oxo-2(R)-tetrahydrofuran carboxylic acid was esterified and reduced with disiamylborane to a 2:3 mixture of cis- and trans-alcs. This mixture of alcs. was acetylated and treated with N<sup>4</sup>-acetylcytosine to give the nucleoside analog II stereoselectively. Deacetylation and reduction of II gave β-L-2',3'-dideoxycytidine.

**MSTR 1A**

G1 = OH  
G5 = 112

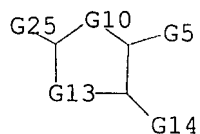


G10 = S  
G13 = 105

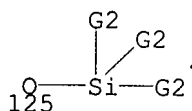
HC—G11  
105

MPL: claim 1

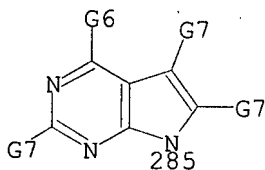
**MSTR 4A**



G1 = 125



G5 = 285



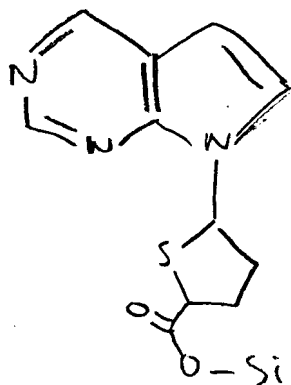
G10 = S  
G13 = 105

HC—G11  
105

G25 = 6

C(O)G1  
6

MPL: claim 14  
NTE: incorporated claim 13

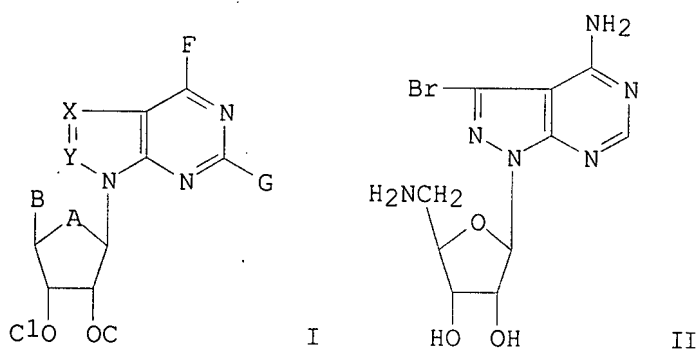


L24 ANSWER 32 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 118:234420 MARPAT  
TITLE: Adenosine kinase inhibitors  
INVENTOR(S): Browne, Clinton E.; Ugarkar, Bheemarao G.; Mullane,

Kevin M.; Gruber, Harry E.; Bullough, David A.; Erion, Mark D.; Castellino, Angelo  
 PATENT ASSIGNEE(S): Gensia Pharmaceuticals, Inc., USA  
 SOURCE: Eur. Pat. Appl., 87 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 14  
 PATENT INFORMATION:

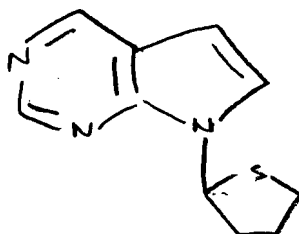
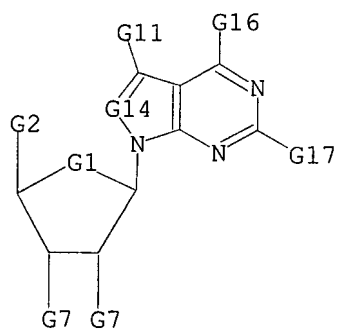
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CA 2100863	AA	19920724	CA 1992-2100863	19920121
WO 9212718	A1	19920806	WO 1992-US515	19920121
W: AU, CA, FI, NO				
AU 665184	B2	19951221	AU 1992-13599	19920121
AU 9213599	A1	19920827		
JP 05112595	A2	19930507	JP 1992-10094	19920123
IL 100742	A1	19960618	IL 1992-100742	19920123
AT 187175	E	19991215	AT 1992-300580	19920123
NO 9302628	A	19930923	NO 1993-2628	19930721
NO 180418	B	19970106		
NO 180418	C	19970416		
US 5646128	A	19970708	US 1994-349125	19941201
PRIORITY APPLN. INFO.:				
			US 1991-647117	19910123
			US 1991-812916	19911223
			US 1989-408707	19890915
			US 1990-466979	19900118
			WO 1992-US515	19920121
			US 1993-14190	19930203
			US 1994-192645	19940203

GI



AB Nucleoside analogs I [A = O, CH<sub>2</sub>, S; B = (un)substituted C1-4 alkyl; C, C1 = H, protective group(s); X = (un)substituted CH; Y = N, (un)substituted CH; F = alkyl, aryl, aralkyl, halogen, (un)substituted NH<sub>2</sub>, substituted OH or SH, cyano, cyanoalkyl; G = H, halogen, alkyl, alkoxy, alkylamino, alkylthio] were prepared. Thus, the analog II was prepared from the pyrimidinone via the azide. II has an adenosine kinase-inhibiting ED<sub>50</sub> of <10 nM and was effective in improving post-ischemic functional recovery in isolated guinea pig heart and in preclin. angina models.

**MSTR 1A**

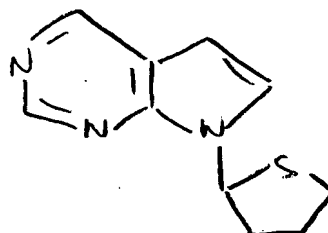
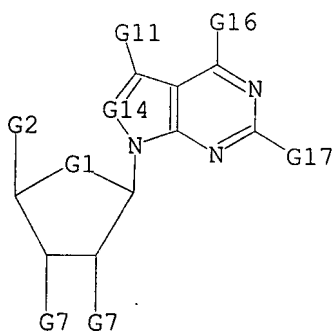


G1 = S  
G3 = (1-4) CH<sub>2</sub>  
G14 = 62

$\text{C}_{62}$ —G15

G30 = O  
DER: or pharmaceutically acceptable salts  
MPL: claim 1  
NTE: substitution is restricted

**MSTR 1B**



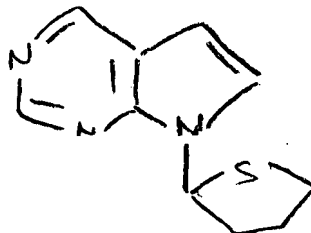
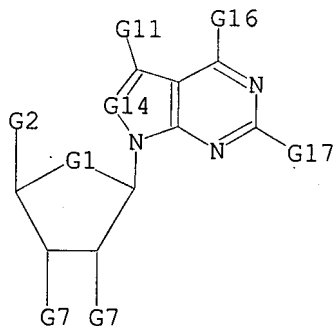
G1 = S  
G3 = (1-4) CH<sub>2</sub>  
G14 = 62

$\text{C}_{62}$ —G15

G30 = O  
DER: or pharmaceutically acceptable salts  
MPL: claim 1

NTE: substitution is restricted

**MSTR 1C**

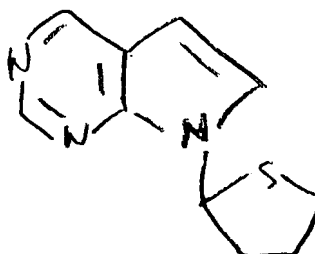
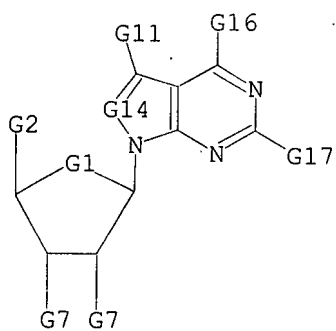


G1 = S  
G3 = (1-4) CH<sub>2</sub>  
G14 = 62

$\overset{\text{C}}{\underset{62}{\text{---}}} \text{G15}$

G30 = O  
DER: or pharmaceutically acceptable salts  
MPL: claim 1  
NTE: substitution is restricted

**MSTR 1D**



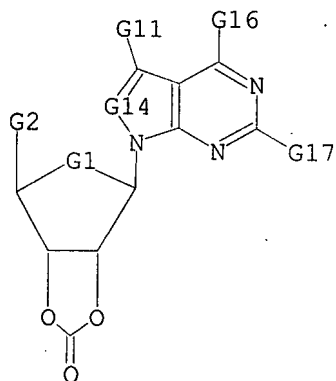
G1 = S  
G3 = (1-4) CH<sub>2</sub>  
G14 = 62

$\overset{\text{C}}{\underset{62}{\text{---}}} \text{G15}$

G30 = O

DER: or pharmaceutically acceptable salts  
MPL: claim 1  
NTE: substitution is restricted

**MSTR 1E**



For 1E-5, see Structures

1A-D

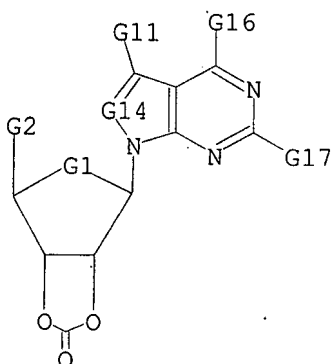
in Same Ref

G1 = S  
G3 = (1-4) CH<sub>2</sub>  
G14 = 62

C—G15  
62

G30 = O  
DER: or pharmaceutically acceptable salts  
MPL: claim 1  
NTE: substitution is restricted

**MSTR 1F**



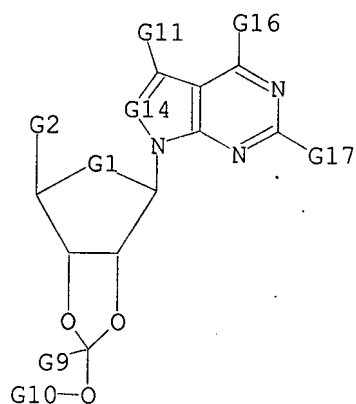
G1 = S  
G3 = (1-4) CH<sub>2</sub>  
G14 = 62



$\overset{\text{C}}{\underset{62}{\text{---}}}\text{G15}$

G30 = O  
 DER: or pharmaceutically acceptable salts  
 MPL: claim 1  
 NTE: substitution is restricted

**MSTR 1G**

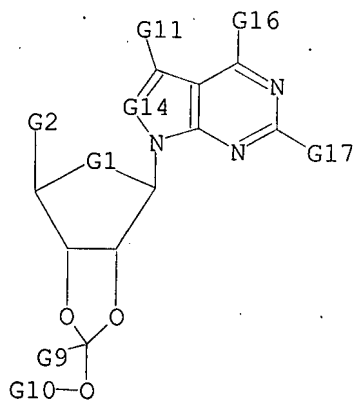


G1 = S  
 G3 = (1-4) CH<sub>2</sub>  
 G14 = 62

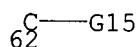
$\overset{\text{C}}{\underset{62}{\text{---}}}\text{G15}$

G30 = O  
 DER: or pharmaceutically acceptable salts  
 MPL: claim 1  
 NTE: substitution is restricted

**MSTR 1H**

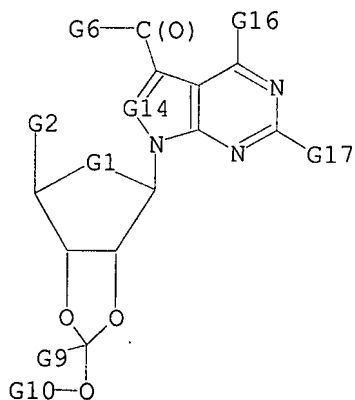


G1 = S  
G3 = (1-4) CH2  
G14 = 62

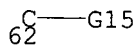


G30 = 0  
DER: or pharmaceutically acceptable salts  
MPL: claim 1  
NTE: substitution is restricted

## MSTR 11



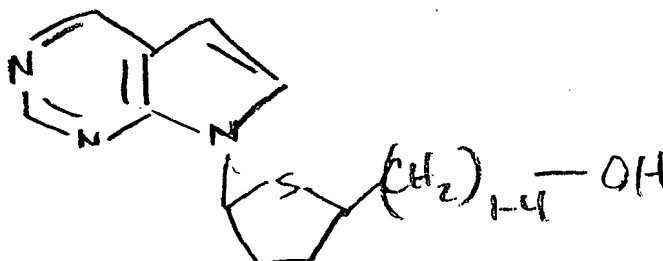
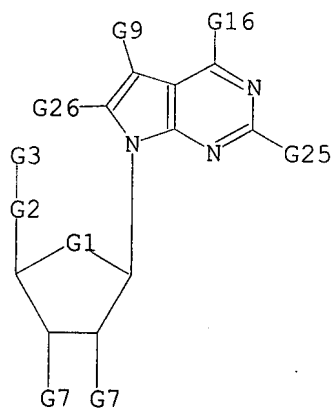
G1 = S  
G3 = (1-4) CH2  
G14 = 62



G30 = 0  
DER: or pharmaceutically acceptable salts

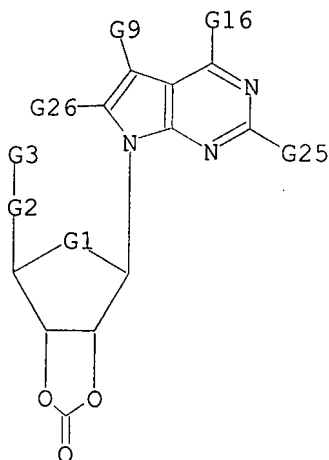
MPL: claim 1  
NTE: substitution is restricted

MSTR 3A



G1 = S  
G2 = (1-4) CH<sub>2</sub>  
G3 = OH  
DER: or pharmaceutically acceptable salts  
MPL: claim 3  
NTE: substitution is restricted.

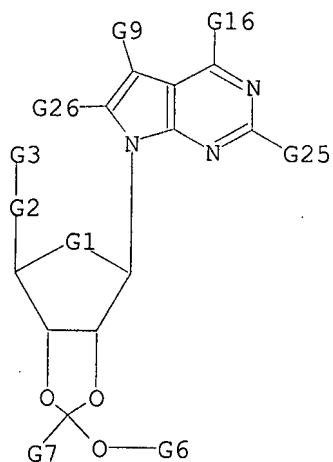
MSTR 3B



See MSTR 3A in same R-b

G1 = S  
G2 = (1-4) CH<sub>2</sub>  
G3 = OH  
DER: or pharmaceutically acceptable salts  
MPL: claim 3  
NTE: substitution is restricted

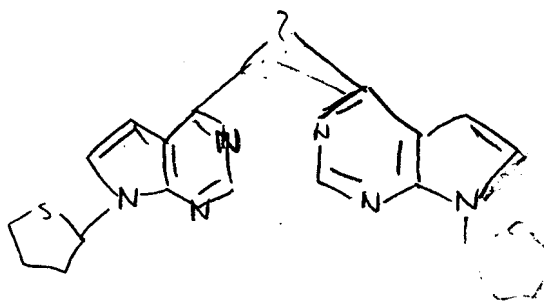
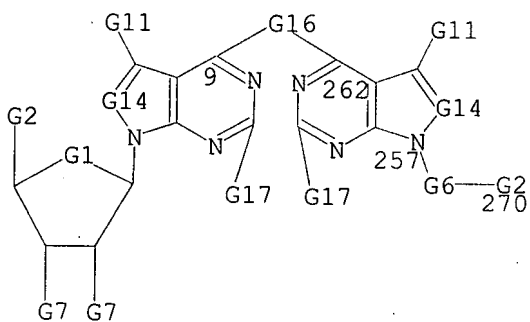
**MSTR 3C**



See MSTR 3A in same ref

G1 = S  
 G2 = (1-4) CH<sub>2</sub>  
 G3 = OH  
 DER: or pharmaceutically acceptable salts  
 MPL: claim 3  
 NTE: substitution is restricted

**MSTR 4A**

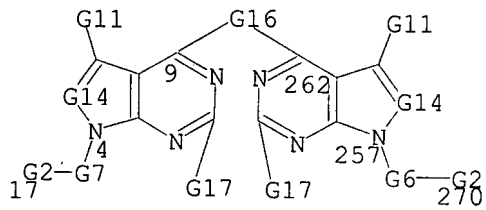


G1 = S  
 G3 = (1-4) CH<sub>2</sub>  
 G4 = OH  
 G14 = 62

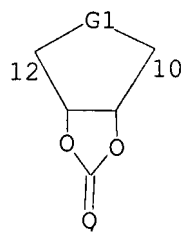
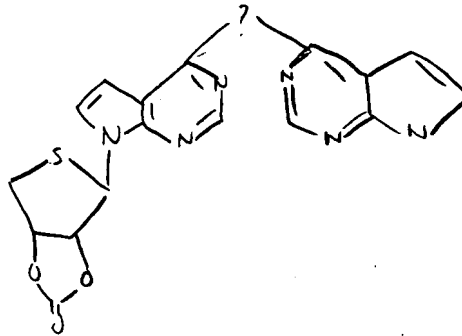
G—G15  
 62

DER: or pharmaceutically acceptable salts  
 MPL: claim 5  
 NTE: substitution is restricted

**MSTR 4B**



G1 = S  
 G3 = (1-4) CH<sub>2</sub>  
 G4 = OH  
 G7 = 12-17 10-4

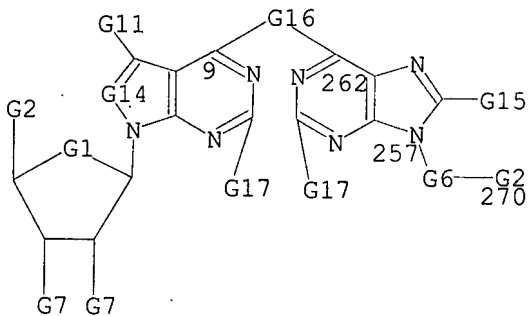


G14 = 62

C—G15  
 62

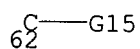
DER: or pharmaceutically acceptable salts  
 MPL: claim 5  
 NTE: substitution is restricted

**MSTR 4C**



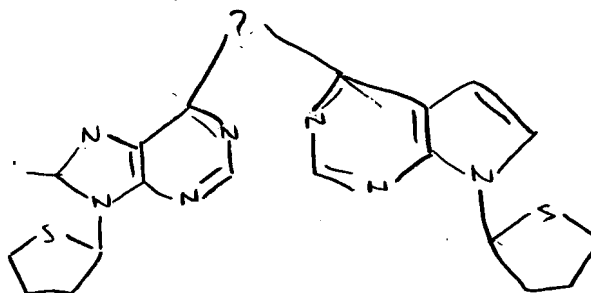
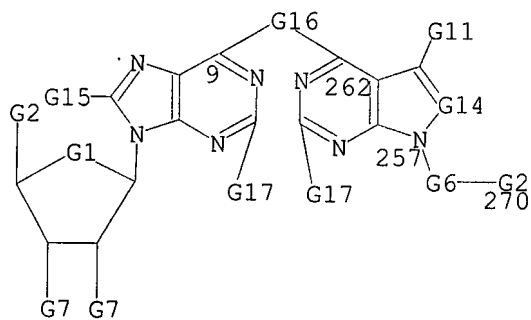
G1 = S  
 G3 = (1-4) CH<sub>2</sub>  
 G4 = OH  
 G14 = 62

See MSTR 4A IN SAME  
 Reference

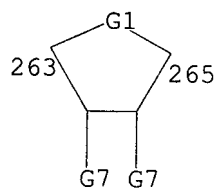


DER: or pharmaceutically acceptable salts  
MPL: claim 5  
NTE: substitution is restricted

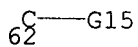
**MSTR 4D**



G1 = S  
G3 = (1-4) CH<sub>2</sub>  
G4 = OH  
G6 = 263-257 265-270

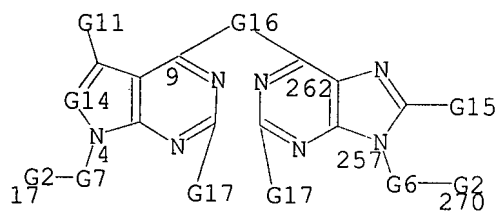


G14 = 62



DER: or pharmaceutically acceptable salts  
MPL: claim 5  
NTE: substitution is restricted

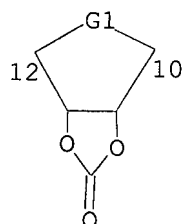
**MSTR 4F**



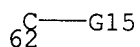
G1 = S  
 G3 = (1-4) CH<sub>2</sub>  
 G4 = OH  
 G7 = 12-17 10-4

Sec MSTR 4B

IN same Ref

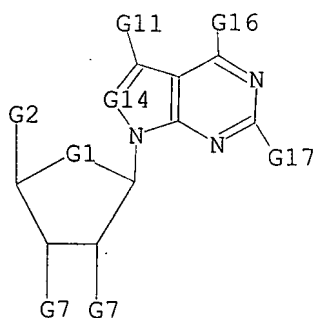


G14 = 62

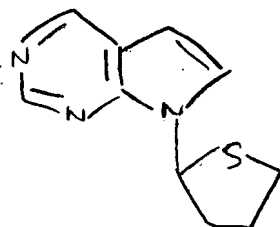
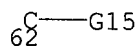


DER: or pharmaceutically acceptable salts  
 MPL: claim 5  
 NTE: substitution is restricted

# MSTR 5A

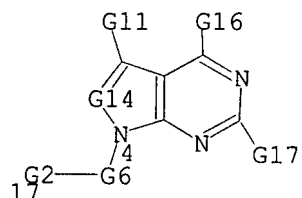


G1 = S  
 G3 = (1-4) CH<sub>2</sub>  
 G4 = OH  
 G14 = 62

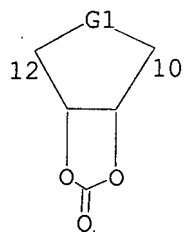
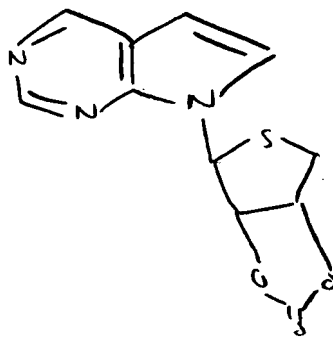


DER: or pharmaceutically acceptable salts or protected derivatives  
MPL: claim 1  
NTE: substitution is restricted

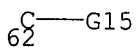
**MSTR 5B**



G1 = S  
G3 = (1-4) CH<sub>2</sub>  
G4 = OH  
G6 = 12-17 10-4

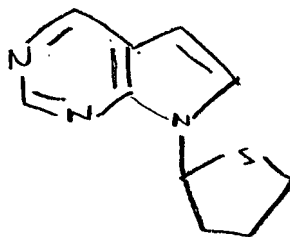
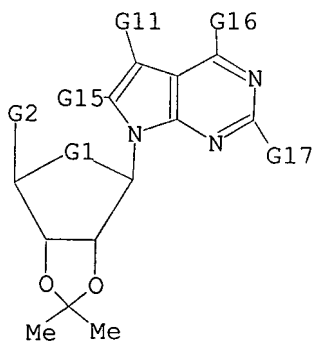


G14 = 62



DER: or pharmaceutically acceptable salts or protected derivatives  
MPL: claim 1  
NTE: substitution is restricted

**MSTR 8**



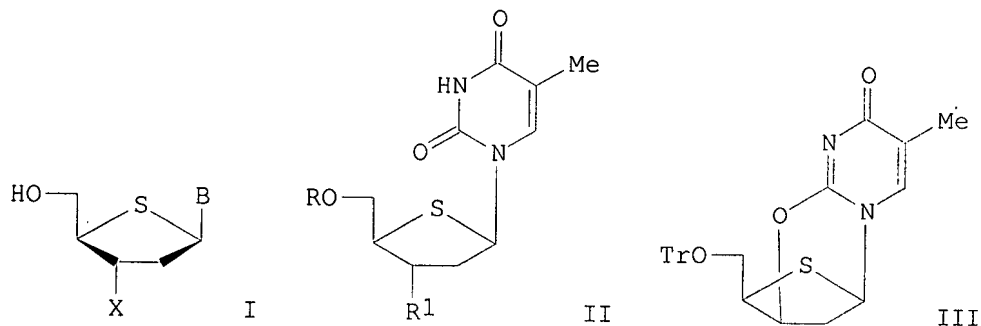


G1 = S  
 G3 = (1-4) CH2  
 G4 = OH  
 MPL: claim 1

L24 ANSWER 33 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 117:111988 MARPAT  
 TITLE: Preparation of 2o,3o-dideoxy-4o-thioribonucleosides as anti-HIV agents  
 INVENTOR(S): Montgomery, John A.; Secrist, John A., III  
 PATENT ASSIGNEE(S): Southern Research Institute, USA  
 SOURCE: PCT Int. Appl., 35 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9116333	A1	19911031	WO 1991-US2732	19910419
W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5128458	A	19920707	US 1991-639021	19910109
CA 2080916	AA	19911021	CA 1991-2080916	19910419
AU 9178551	A1	19911111	AU 1991-78551	19910419
EP 525106	A1	19930203	EP 1991-908921	19910419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05508152	T2	19931118	JP 1991-508844	19910419
NO 9204046	A	19921218	NO 1992-4046	19921019
PRIORITY APPLN. INFO.:				
			US 1990-513270	19900420
			US 1991-639021	19910109
			WO 1991-US2732	19910419

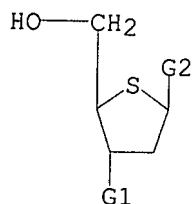
GI



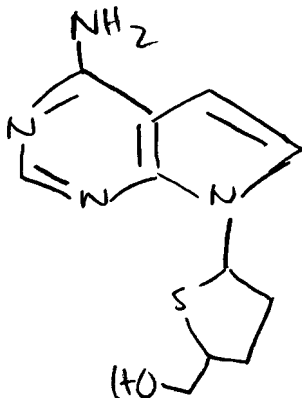
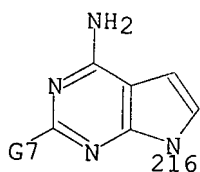
AB The title compds. [I; B = residue of pyrimidine, 5-azapyrimidine, 6-azapyrimidine, 3-deazapyrimidine, purine, 3-deazapurine, 7-deazapurine, 8-azapurine, 2-azapurine; X = H, N3, F] were prepared Thiodeoxyriboside II [R = H, R1 = OH] was 5'-tritylated, the resulting II [R = trityl, R1 = OH] treated with DAST in methylene dichloride, the anhydride III in DMF

treated with  $\text{NaN}_3$ , and the resulting II [ $R = \text{trityl}$ ,  $R_1 = \text{N}_3$ ] heated with  $\text{AcOH}$  at  $60^\circ$  for 2 h to give I [ $B = \text{thymine residue}$ ,  $X = \text{N}_3$ ]. This had an  $\text{IC}_{50}$  of 80  $\mu\text{g/mL}$  against HIV activity in MT-2 cells.

## MSTR 1



G2 = 216

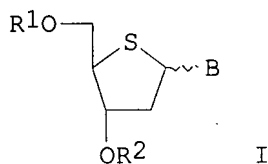


MPL: claim 1

L24 ANSWER 34 OF 34 MARPAT COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 115:115017 MARPAT  
 TITLE: Preparation of 2'-deoxy-4'-thioribonucleosides as  
 antivirals and antitumors  
 INVENTOR(S): Montgomery, John A.; Secrist, John A., III  
 PATENT ASSIGNEE(S): Southern Research Institute, USA  
 SOURCE: PCT Int. Appl., 47 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

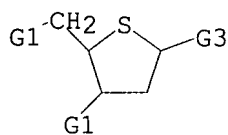
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WO 9104033	A1	19910404	WO 1990-US5252	19900914
W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AU 9064014	A1	19910418	AU 1990-64014	19900914
EP 491793	A1	19920701	EP 1990-913760	19900914
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 05500666	T2	19930212	JP 1990-513081	19900914
JP 3207852	B2	20010910		
US 5591722	A	19970107	US 1994-354313	19941212
PRIORITY APPLN. INFO.:				
				US 1989-408040
				WO 1990-US5252
				US 1993-35689

GI

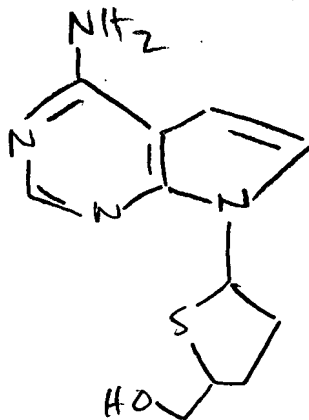
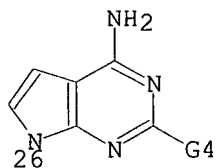


AB 2'-Deoxy-4'-thioribonucleosides I (B = (5-aza)pyrimidinyl, 6-azapyrimidinyl, 3-deazapyrimidinyl, purinyl, 3-deazapurinyl, 7-deazapurinyl, 8-azapurinyl, 2-azapurinyl, etc.; R1,R2 = H, acyl), useful for treatment of viral infections such as HSV-1 and HSV-2, and for treatment of leukemia and epidermoid carcinoma, were prepared. For example, Me3SiNHSiMe3 and Me3SiCl were added to a suspension of 1-O-acetyl-2-deoxy-4-thio-3,5-di-O-p-toluoyl- $\alpha$ - $\beta$ -D-ribofuranose (preparation given) and thymine in dry CH2Cl2 and the mixture was stirred 0.5 h at room temperature. The resulting solution was cooled to -78°, Me3SiOSO2CF3 was added, and the solution was stirred at -78° for 1.5 h to give the 3,5-di-O-toluoyl protected 2'-deoxy-4'-thioribonucleoside, which was deprotected by NaOMe/MeOH to give 1-(2'-deoxy-4'-thio- $\beta$ -ribofuranosyl)thymine (II). II showed IC50's of 0.8, 0.075, and 0.025  $\mu$ g/mL against HSV-1 human epidermoid carcinoma number 2, and leukemia L1210, resp.

## MSTR 1



G1 = OH  
G3 = 26



MPL: claim 1

=> b uspatfull

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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 27 Jan 2004 (20040127/PD)

FILE LAST UPDATED: 27 Jan 2004 (20040127/ED)

HIGHEST GRANTED PATENT NUMBER: US6684403

HIGHEST APPLICATION PUBLICATION NUMBER: US2004016035

CA INDEXING IS CURRENT THROUGH 27 Jan 2004 (20040127/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 27 Jan 2004 (20040127/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2003  
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